

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 104080

TO: James Spear

Location: CM1/3A01/2B01

Art Unit: 1615

September 29, 2003

Search Notes

Case Serial Number: 10/005511

From: P. Sheppard Location: CM1-1E03 Phone: (703) 308-4499

sheppard@uspto.gov

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=> fil hcaplus FILE 'HCAPLUS' ENTERED AT 09:27:55 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 29 Sep 2003 VOL 139 ISS 14 FILE LAST UPDATED: 28 Sep 2003 (20030928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que 114
L3 STR

7 8
0 0
||| || ||
0 ~ Cy ~ C ~ N ~ C ~ 0

=>

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

L9 1293 SEA FILE=REGISTRY SSS FUL L3
L10 STR

0 0 C-\cap G2-\cap CH3 C≕G3~C $0 \stackrel{\sim}{=} C \sim 0$ @15 16 17 9 @10 11 @12 13 14 G1~G43 ℃ \sim N \sim C \cdot \sim 0 27 C~G3≅C @23 @18 19 20 @25

VAR G1=X/OH/S/10/12/15/18

REP G2=(3-3) C

REP G3=(0-2) C

VAR G4=23/24/25/26/21

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10 L11

L12 · STR

7 8 0 0 HO~Cy~C~N~C~OH 3 5 4

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

1 SEA FILE=REGISTRY SUB=L11 SSS FUL L12 L13

1 SEA FILE=HCAPLUS ABB=ON PLU=ON L13 T.14

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=> d ibib abs hitrn 114 1

L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1986:3120 HCAPLUS

DOCUMENT NUMBER:

104:3120

TITLE:

Test strips for hematocrit-independent determination

of substances in whole blood

INVENTOR(S):

Pfuetzner, Ludwig; Kretzschmar, Frank; Plaschnik, Dieter; Huenniger, Henner; Kallies, Karl Heinz; Loeffler, Elisabeth; Rost, Inge; Schild, Beate;

Thiele, Hans Juergen; Knabe, Guenter

PATENT ASSIGNEE(S):

VEB Arzneimittelwerk Dresden, Ger. Dem. Rep.

SOURCE:

Ger. (East), 10 pp. CODEN: GEXXA8

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| | | | | |
| DD 222419 | A1 | 19850515 | DD 1983-255802 | 19831020 |
| DD 222419 | B1 | 19870211 | | |

DD 1983-255802 19831020 PRIORITY APPLN. INFO.:

AB A test strip for detn. of e.g. glucose in whole blood consists of a film

carrier coated with a reagent-contg. transparent polymer layer 2-15 .mu.m thick which swells rapidly in aq. media with a low absorption capacity (0.2-3.0~mg/cm2). For example, glucose was detd. in whole blood, serum, or urine with a transparent cellulose acetate film coated with a mixt. of gelatin (6~g/m2) hardened with Cr(OAc)3~(450 mg/m2),~1-(3'-sulfo-4'-phenoxy)phenyl-3-(carboxyheptadecylamido)-5-pyrazolone (369 mg/m2), 4-(N-.delta.-sulfobutyl-N-butylammonium)anilinium sulfate <math>(240~mg/m2),~glucose oxidase (10,000~units/m2),~peroxidase (500~units/m2),~ethylene glycol (1.5~mL/m2),~and Na hexadecylsulfonate (3~mg/m2),~adjusted to pH 7.4 with NaOH. After rinsing off excess sample and incubating the strip, the color developed was read visually or by transmission or reflection photometry. The results are independent of the hematocrit of whole blood, and the single-layer strip is inexpensive to manuf.

IT 99468-84-5

RL: ANST (Analytical study) (test strip contg., for glucose detn. in blood and urine)

=> =>

=> fil reg FILE 'REGISTRY' ENTERED AT 09:28:09 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6 DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L13 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN

RN 99468-84-5 REGISTRY

CN 1-Naphthalenesulfonic acid, 3-[(carboxyoctadecylamino)carbonyl]-4-hydroxy-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H45 N O7 S

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 104:3120 => fil hcaplus FILE 'HCAPLUS' ENTERED AT 09:28:26 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 29 Sep 2003 VOL 139 ISS 14 FILE LAST UPDATED: 28 Sep 2003 (20030928/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> => d stat que 116 L3 STR 8 0 0 ∨ C~ · C.~

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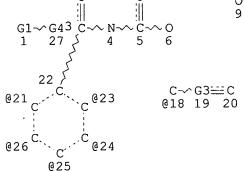
L9

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE 1293 SEA FILE=REGISTRY SSS FUL L3

L10 STR 7 0 0 0<u></u> C ~ 0 C~ G2~ CH3 C == G3 -√ C 012 13 14 @15 16 17 9 @10 11 G1~G43 C



Spear 10_0051511 VAR G1=X/OH/S/10/12/15/18 REP G2=(3-3) C REP G3=(0-2) C VAR G4=23/24/25/26/21 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 26 STEREO ATTRIBUTES: NONE 85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10 L11 L12 STR 7 8 0 0 \sim N \sim C \sim OH HO~ Cv~ C~ 3 4 5 NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 8 STEREO ATTRIBUTES: NONE 1 SEA FILE=REGISTRY SUB=L11 SSS FUL L12 L13 L15 84 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L13 20 SEA FILE=HCAPLUS ABB=ON PLU=ON L15 L16 => => => d ibib abs hitrn 116 1-20 L16 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN 2002:428856 HCAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 137:20225 TITLE: Preparation of phenylmethylalkanoic acid derivatives as PPAR.alpha. agonists useful in the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity Miyachi, Hiroyuki; Nomura, Masahiro; Murakami, Kouji INVENTOR(S): Kyorin Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S): PCT Int. Appl., 67 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent

| PATENT NO. | KI | ND DAT | Ξ | AP | PLICATI | ои ис | | DATE | | | |
|--------------|---------|--------|----------|---------|---------|-------|-----|------|------|-----|-----|
| | | | | | | | _ | | | | |
| WO 200204412 | 27 P | 1 2002 | 20606 | WO | 2001-J | P1035 | 5 . | 2001 | 1128 | | |
| W: AE, | AG, AL, | AM, AT | , AU, AZ | , BA, 1 | BB, BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| CO, | CR, CU, | CZ, DE | , DK, DM | , DZ, 1 | EC, EE, | ES, | FI, | GB, | GD, | GE, | GH, |

Japanese

1

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

Ι

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002022552 A5 20020611 AU 2002-22552 20011128 PRIORITY APPLN. INFO.:

| PRIORITY APPLN. INFO.: | JP 2000-363679 A 20001129 WO 2001-JP10355 W 20011128

OTHER SOURCE(S):

MARPAT 137:20225

GI

$$X - C - CO - OR5$$

$$R^{2}$$

$$R^{3}$$

The title compds. I [R1 represents trifluoromethyl, optionally substituted phenoxy, etc.; R2 represents hydrogen or lower alkoxy; R3, R4 and R5 represent each hydrogen or lower alkyl; A represents NHCO or CONH; X is located at the para-position relative to A and represents oxygen or sulfur, or X is located at the para-position relative to R2 and represents oxygen or sulfur; and n is an integer of from 0 to 2], useful as PPAR.alpha. agonists (no data) for the treatment of hyperlipidemia, arteriosclerosis, diabetes, and obesity, are prepd. For example, 2-[[4-[N-[[4-(trifluoromethyl)phenyl]methyl]carbamoyl]-3-methoxyphenyl]methyl]butyric acid was prepd.

IT 433926-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenylmethylalkanoic acid derivs. as PPAR.alpha. agonists useful in treatment of hyperlipidemia and arteriosclerosis and

diabetes)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:581649 HCAPLUS

DOCUMENT NUMBER: 135:163628

TITLE: Preparation of derivatives of known pesticides, with

enhanced properties

INVENTOR(S): Mulvihill, Mark Joseph; Shaber, Steven Howard; Kelly,

Martha Jean

PATENT ASSIGNEE(S): Rohm and Haas Company, USA SOURCE: PCT Int. Appl., 1646 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2001056358 A2 20010809 WO 2001-US651 20010126

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
              YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
              DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
              BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 2000-493865
                                                                  20000128
     US 6376548
                        В1
                             20020423
                               20010814
                                               AU 2001-30875
                                                                  20010126
     AU 2001030875
                         Α5
                                              WO 2002-US7423
                              20020919
                                                                  20020312
     WO 2002072559
                        A1
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
              UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
              TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            US 2000-178878P P 20000128
PRIORITY APPLN. INFO.:
                                            US 2000-493865
                                                              A 20000128
                                            WO 2001-US651
                                                               W 20010126
                                            US 2001-804704
                                                              A 20010313
                           MARPAT 135:163628
OTHER SOURCE(S):
     A very large no. of derivs. of known pesticides were prepd. The moieties
     substituted to the known pesticides enhance or favorably modify the
     activity and properties of the parent pesticide.
     353757-98-9P 353757-99-0P
TΤ
     RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
         (prepn. as pesticide with enhanced properties)
L16 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:
                           1998:527379 HCAPLUS
                           129:176908
DOCUMENT NUMBER:
                           Soluble chromophores having improved solubilizing
TITLE:
                           groups and their use
INVENTOR(S):
                           Hall-Goulle, Veronique; Bize, Aline
                           Ciba Specialty Chemicals Holding Inc., Switz.
PATENT ASSIGNEE(S):
                           PCT Int. Appl., 64 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                             APPLICATION NO. DATE
     PATENT NO. KIND DATE
                                               _____
                       ____
                               -----
                                             WO 1998-EP248 19980117
                               19980730
                        A1
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
          DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
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              GA, GN, ML, MR, NE, SN, TD, TG
                                            AU 1998-62109
                                                                  19980117
                               19980818
     AU 9862109
                       A1
                                               EP 1998-904092
                                                                  19980117
                               20000105
     EP 968250
                         A1
                  B1
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20010418

R: CH, DE, FR, GB, IT, LI

EP 968250

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JP 2001513119
                      Т2
                             20010828
                                            JP 1998-531549
                                                             19980117
                                            TW 1998-87100901 19980123
     TW 444051
                       В
                             20010701
     US 6274728
                                            US 1999-465868 19991216
                       В1
                             20010814
                                                        A 19970127
                                         CH 1997-171
PRIORITY APPLN. INFO.:
                                                          W 19980117
                                         WO 1998-EP248
                                                         B1 19980226
                                         US 1998-13659
OTHER SOURCE(S):
                         MARPAT 129:176908
     The colorants A(B)x (x = 1-8; A = radical of a chromophore of the
     quinacridone, anthraquinone, perylene, indigo, quinophthalone, indanthrone, isoindolinone, isoindoline, dioxazine, azo, phthalocyanine or
     diketopyrrolopyrrole series; B = H or solubilizing group) are obtained
     whereby A is bonded to x groups B via one or more hetero atoms, those
     hetero atoms being selected from the group consisting of N, O, and S and
     forming part of the radical A. The colorants are used in high-mol.-wt. org. materials, thermo-, photo-, or chemo-sensitive recording materials,
     light-sensitive neg. or pos. resist compns., ink compns. for ink-jet
     printing, and color tapes for thermal transfer printing. The sol.
     chromophore derivs. can be converted to the underivatized form (B = H) by
     heating after they are incorporated into a substrate. Thus,
     bis(1,1-dimethyl-3,7-dioxa-1-heptyl) oxydicarbonate was prepd. and used to
     treat C.I. Pigment Violet 37, giving the red tetrakis(1,1,-dimethyl-3,7-
     dioxa-1-heptyloxycarbonyl) deriv. of C.I. Pigment Violet 37 in 65% yield;
     this pigment was used in a coating compn.
     211322-06-4P 211322-07-5P
TT
     RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or
     engineered material use); PREP (Preparation); USES (Uses)
        (pigment; prepn. of pigments contg. labile solubilizing groups)
REFERENCE COUNT:
                         5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L16 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:
                     1997:618073 HCAPLUS
DOCUMENT NUMBER:
                         127:262561
TITLE:
                         synthesis and DNA alkylating activity of MCBI analogs
                         of CC-1065 and the duocarmycins
INVENTOR(S):
                         Boger, Dale L.
                       Scripps Research Institute, USA; Boger, Dale L.
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 92 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
                         English
LANGUAGE:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                     APPLICATION NO. DATE
     PATENT NO.
                  KIND DATE
                     A1 19970912 WO 1997-US3641 19970307
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
                            19970912
                                           CA 1997-2246783 19970307
     CA 2246783
                      AA
     AU 9719902
                            19970922
                                           AU 1997-19902
                       A1_2
                                                             19970307
     AU 711974
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                            19991028
     EP 888301
                      A1
                           19990107
                                           EP 1997-908059
                                                            19970307
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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JP 1997-531987

US 1998-142337

19970307

19980904

IE, SI, LT, LV, FI, RO

Α

20000523

19991116

JP 2000506168 T2

US 5985908

PRIORITY APPLN. INFO.: US 1996-13024P P 19960308 WO 1997-US3641 W 19970307

OTHER SOURCE(S): MARPAT 127:262561

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

MCBI (7-methoxy-1,2,9,9a-tetrahydrocyclopropa[c]benz[e]indol-4-one) (I) (R1 = H) is employable as a DNA alkylating agent and can be incorporated into analogs of CC-1065 and the duocarmycins I (R1 = Q1, Q2, Q3, Q4) for constructing regioselective DNA alkylating agents. Thus, I (R1 = Q1) (II) is prepd. by reacting 1-(chloromethyl)-5-hydroxy-8-methoxy-1,2-dihydro-3H-benz[e]indole with Q1-CO2H followed by cyclopropanation with NaH in THF-DMF. The relative rates of DNA alkylation do not follow the relative rates of acid-catalyzed solvolysis.

IT 196306-02-2P 196306-03-3P 196306-04-4P 196306-10-2P 196306-21-5P 196306-22-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and DNA alkylating activity of MCBI analogs of CC-1065 and the duocarmycins)

L16 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:549377 HCAPLUS

DOCUMENT NUMBER: 127:161997

TITLE: Carbamoyloxy derivatives of mutilin and their use as

antibacterials

INVENTOR(S): Hinks, Jeremy David; Takle, Andrew Kenneth; Hunt, Eric

PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK; Hinks, Jeremy David;

Takle, Andrew Kenneth; Hunt, Eric

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|---------------|-----------------------|---------------------|-------------------|
| WO 9725309 | A1 19970717 | WO 1996-EP5874 | 19961219 |
| | , AT, AU, AZ, BA, BB, | | |
| | , ES, FI, GB, GE, HU, | | |
| | , LS, LT, LU, LV, MD, | | |
| | , SD, SE, SG, SI, SK, | | , UG, US, UZ, VN, |
| | , BY, KG, KZ, MD, RU, | | |
| | , MW, SD, SZ, UG, AT, | | |
| | , LU, MC, NL, PT, SE, | BF, BJ, CF, CG, C1, | CM, GA, GN, ML, |
| | , SN, TD, TG | CT 1006 0040467 | 10061010 |
| | AA 19970717 | | |
| | A1 19970801 | AU 1997-13078 | 19961219 |
| | B2 20000120 | | |
| | A1 19981104 | EP 1996-944684 | 19961219 |
| | B1 20030827 | | |
| R: AT, BE | , CH, DE, DK, ES, FR, | GB, GR, IT, LI, LU, | , NL, SE, MC, PT, |
| IE, SI | , FI, RO | | |
| CN 1214039 | | | 19961219 |
| BR 9612426 | A 19990713 | BR 1996-12426 | 19961219 |
| JP 2000503642 | T2 20000328 | JP 1997-524826 | 19961219 |
| ZA 9700017 | A 19980702 | ZA 1997-17 | 19970102 |
| AP 872 | A .20000928 | AP 1997-1047 | 19970721 |

```
BW, GM, GH, KE, LS, MW, SD, SZ, UG, ZM, ZW
         W:
                                            WO 1997-EP4166
                                                              19970729
                             19980212
    WO 9805659
                       Α1
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US,
                     YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
             UZ, VN,
                     LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
         RW: GH, KE,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
                                            AU 1997-42036
                                                              19970729
    AU 9742036
                       A1
                             19980225
                                            EP 1997-940050
                                                              19970729
                             19990811
    EP 934316
                       Α1
                             20021016
    EP 934316
                       В1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI
                                                              19970729
                                            BR 1997-11008
                             19990817
     BR 9711008
                                            CN 1997-198347
                                                              19970729
     CN 1231665
                       Α
                             19991013
                                            NZ 1997-333926
                                                              19970729
                             20000526
    NZ 333926
                       Α
                                            JP 1998-507584
                                                              19970729
     JP 2000515532
                       Т2
                             20001121
                                            AT 1997-940050
                                                              19970729
    AT 226203
                       Ε
                             20021115
                                            ES 1997-940050
                                                              19970729
                             20030301
     ES 2182114
                       Т3
                                            ZA 1997-6817
                                                              19970731
                             19990201
     ZA 9706817
                       Α
                                            NO 1998-3074
                                                              19980702
    NO 9803074
                       Α
                             19980831
                                            US 1998-101210
                                                              19981204
                       Α
                             20000201
    US 6020368
                                            NO 1999-463
                                                              19990201
                       Α
                             19990201
    NO 9900463
                                            KR 1999-700856
                                                              19990201
                             20000525
     KR 2000029748
                       Α
                                                              19991221
                                            US 1999-467695
                             20010529
    US 6239175
                       В1
                                         GB 1996-48
                                                           Α
                                                              19960103
PRIORITY APPLN. INFO.:
                                                              19960802
                                         GB 1996-16305
                                                           Α
                                                              19961219
                                         WO 1996-EP5874
                                         GB 1997-12963
                                                           Α
                                                              19970619
                                         WO 1997-EP4166
                                                              19970729
                                                           A3 19981204
                                         US 1998-101210
```

OTHER SOURCE(S):

MARPAT 127:161997

GI

Derivs. of mutilin of formula [I; Y = (un)substituted carbamoyloxy; R1 = vinyl, Et] and their pharmaceutically acceptable salts, useful in the treatment of bacterial infections (no data), are prepd. Thus, (3R)-epimutilin deriv. II (R = H) was treated with Ph isocyanate in CH2Cl2 contg. N,N-diisopropylethylamine at room temp. for 7 days to give II (R = PhNHCO), which in dioxane was treated with a satd. soln. of ZnCl2 in concd. HCl to give the title compd. mutilin 14-phenylcarbamate.

IT 193535-05-6P 193536-54-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of carbamoyloxymutilins as antibacterials)

193535-03-4P 193535-31-8P 193535-81-8P IT

193535-83-0P 193536-65-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of carbamoyloxymutilins as antibacterials)

193537-50-7P 193537-96-1P 193538-05-5P IT 193538-06-6P 193538-07-7P 193538-09-9P 193538-63-5P 193538-65-7P 193538-66-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of carbamoyloxymutilins as antibacterials)

L16 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1997:405468 HCAPLUS 127:42170

DOCUMENT NUMBER: TITLE:

Silver halide color photographic material containing

development-inhibitor-releasing agent

INVENTOR(S):

Sato, Naoki; Ishige, Osamu

PATENT ASSIGNEE(S):

Konica Co., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | - | | |
| JP 09114055 | A2 | 19970502 | JP 1995-270197 | 19951018 |
| PRIORITY APPLN. INFO. | : | | JP 1995-270197 | 19951018 |
| GI | | | | |

The title material contains a compd. SA(time)nZJX (S = C.ltoreq.10 AΒ substituent; A = group releasing (time) nZX upon reaction with oxidizeddeveloping agents; time = timing group; Z = N-contg. heterocycle; J = OCO bond-contg. group; X = substituent; n = 0-2). The variation in processing of the material due to the accumulation of released inhibitor in the processing soln. is less, and high quality color image are obtained. Thus, a multilayer color photog. film was prepd. by using I for the compd. IT 190581-14-7

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(photog. development inhibitor releasing coupler giving clear images)

L16 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1993:505802 HCAPLUS

DOCUMENT NUMBER:

119:105802

TITLE:

Electrophotographic photoreceptors using azo type

charge-generating agent

INVENTOR(S):

Karasawa, Akio; Ito, Naoto; Oguchi, Takahisa

PATENT ASSIGNEE(S):

Mitsui Toatsu Chemicals, Inc., Japan Jpn. Kokai Tokkyo Koho, 11 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: LANGUAGE:

Patent

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 04229868 | A2 | 19920819 | JP 1990-414695 | 19901227 |

JP 2788127

1998082.0

JP 1990-414695

19901227

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 119:105802

GI

$$z = N = N$$

$$n = 1$$

The photoreceptors comprise a photosensitive layer contg. .gtoreq.1 azo AB compd. I [R = (substituted) alkyl, arom. hydrocarbon ring or heterocyclic ring; Z = (substituted) arom. hydrocarbon ring or heterocyclic ring which may bond through a binding group; n = 2-4]. The photoreceptors show high photosensitivity and good durability in repeated use.

146173-09-3 146173-10-6 TΤ

RL: RCT (Reactant); RACT (Reactant or reagent)

(diazo coupling of)

146173-13-9 146173-14-0 146173-15-1 146173-16-2 146173-17-3 146173-18-4 146173-19-5 146173-20-8 146173-21-9 146173-22-0 146173-23-1 146173-24-2

146173-25-3

RL: TEM (Technical or engineered material use); USES (Uses) (electrophotog. photoreceptor charge-generating agent)

IT 146173-11-7P 146173-12-8P

RL: PREP (Preparation)

(prepn. of, electrophotog. photoreceptor charge-generating agent)

L16 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:473091 HCAPLUS

DOCUMENT NUMBER: 119:73091

Synthesis and antifungal activities of pradimicin A TITLE:

derivatives modification of the alanine moiety

Nishio, Maki; Ohkuma, Hiroaki; Kakushima, Masatoshi; AUTHOR(S):

Ohta, Shinichi; Iimura, Seiji; Hirano, Minoru;

Konishi, Masataka; Oki, Toshikazu

Bristol-Myers Squibb Res. Inst., Tokyo, 153, Japan CORPORATE SOURCE:

Journal of Antibiotics (1993), 46(3), 494-9 SOURCE:

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: LANGUAGE:

Journal English

GΙ

MeO OH Me

ŌН

HO O NHMe

AB Title pradimicin A derivs. I (R = OMe, OEt, OCH2O2CMe3, NH2, NHMe, NHEt, D-Ala-OH, L-Ala-OH, L-Asp-OH, L-Lys-OH, Gly-OH) were prepd. and in vitro and in vivo antifungal activities of the derivs. were examd. in comparison with those of pradimicin A (I, R = OH). The amide derivs. showed activities comparable to pradimicin A, indicating that the free carboxyl group can be modified without impairing the antifungal activity.

Me

Ι

IT 148676-95-3

OH

0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (amidation of, with dimethylamine)

IT 148676-96-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and hydrogenolysis of)

L16 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:559494 HCAPLUS

DOCUMENT NUMBER: 115:159494

TITLE: A short efficient route to acronycine and other

acridones

AUTHOR(S): Horne, Stephen; Rodrigo, Russell

CORPORATE SOURCE: Guelph-Waterloo Cent. Grad. Work Chem., Univ.

Waterloo, Waterloo, ON, N2L 3G1, Can.

SOURCE: Journal of the Chemical Society, Chemical

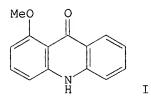
Communications (1991), (15), 1046-8

CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:159494

GI



AB A Fries type of rearrangement of N-tosyl-o-iodobenzanilides, triggered by lithium-iodine exchange at low temp. is the key step in a general, regiospecific synthesis of acridones, e.g. I.

IT 136138-31-3P 136138-32-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and Fries rearrangement of)

L16 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:491783 HCAPLUS

DOCUMENT NUMBER: 115:91783

TITLE: Syntheses of tolrestat analogs containing additional

substituents in the ring and their evaluation as

aldose reductase inhibitors. Identification of potent,

orally active 2-fluoro derivatives

AUTHOR(S): Wrobel, Jay; Millen, Jane; Sredy, Janet; Dietrich,

Arlene; Gorham, Beverly J.; Malamas, Michael; Kelly, Joseph M.; Bauman, John G.; Harrison, Maria C.; et al.

CORPORATE SOURCE: Wyeth-Ayerst Res., Inc., Princeton, NJ, 08543-8000,

USA

Ι

SOURCE: Journal of Medicinal Chemistry (1991), 34(8), 2504-20

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GΙ

$$C (= X) NR^1 CH_2 COR^3$$
MeO

R

As series of aldose reductase inhibitors were prepd. which were analogs of the potent, orally active inhibitor tolrestat I (R = H; R1 = Me; R2 = CF3; R3 = OH; X = S). These compds., e.g., I (R = 2-F, Cl, Me, OMe, OEt, OPh, OCH2Ph, 3-F, Br, Me, Ph, 7-Me; R1 = Me, CO2Me, CO2Et; R2 = CF3, Br; R3 = OH, NH2, NHCO2Et; X = S, O) have an extra substituent on one of the unoccupied positions on the naphthalene ring. These compds. were evaluated in two in vitro systems:an isolated enzyme prepn. from bovine lens to assess their intrinsic inhibitory activity and an isolated sciatic nerve assay to det. their ability to penetrate membranes of nerve tissue. These compds. were also evaluated in vivo as inhibitors of galactitol accumulation in the lens, sciatic nerve, and diaphragm of galactose-fed rats. In general, compds. I were potent inhibitors of bovine lens aldose reductase. I (R = 2-halo) exhibited high activity in the nerve of the 4-day-galactose-fed rat, and in several instances, the primary amide

prodrug I (R1 = Me; R2 = CF2; R3 = NH2; X = O) enhanced the in vivo potency of the resp. carboxylic acid I (R3 = OH). Two 2-fluoro-derivs. I (R = 2-F, R1 = Me, CO2Me; R2 = CF3; R3 = NH2, OH; X = O), had esp. high activity in vivo and were chosen for addnl. studies. These compds. were found to be approx. equipotent to tolrestat in the sciatic nerve of the galactose-fed rat and the STZ rat, as judged by their ED50's in these assays. Although primary amide analog I (R = 2-F; R1 = Me; R2 = CF3; R3 = NH2; X = O) did not have intrinsic inhibitory activity toward aldose reductase, it was metabolized to an active form in vivo and also in vitro within the sciatic nerve.

122670-49-9P 122670-50-2P 122670-51-3P 122670-52-4P 122670-53-5P 122670-56-8P 122670-87-5P 134057-80-0P 134058-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and aldose reductase inhibition by)

IT 122670-73-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrolysis of)

IT 122670-77-3P 134058-02-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with tert-Bu bromoacetate)

IT 122670-57-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and selective hydrolysis of)

IT 122670-72-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with tert-Bu bromoacetate)

L16 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:81247 HCAPLUS

DOCUMENT NUMBER: 114:81247

TITLE: Preparation of benzoylaminooxyacetic acid esters as

herbicides

INVENTOR(S): Grina, Jonas; Ebner, Cuno; Kirkpatrick, Joel Lee;

Steiger, Arthur; Busteed, Roslynn

PATENT ASSIGNEE(S): Sandoz A.-G., Switz.; Sandoz-Patent-G.m.b.H.;

Sandoz-Erfindungen Verwaltungsgesellschaft m.b.H.

SOURCE: Eur. Pat. Appl., 20 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------------------|---------|------------------------------|------------------------------------|----------------------|
| EP 381913 | A1 | 19900816 | EP 1989-810934 | 19891211 . SE |
| R: AT, BE, HU 53603 | A2 | , ES, FR, GB, G1 19901128 | R, IT, LI, LU, NL, HU 1989-6342 | , SE 19891201 |
| CA 2005256 | AA | 19900614 | CA 1989-2005256 | 19891212 |
| DK 8906270 | A | 19900615 | DK 1989-6270 | 19891212 |
| AU 8946161 CN 1043496 | A1 A | 19900621 19900704 | AU 1989-46161 CN 1989-109417 | 19891212 19891213 |
| JP 02212464 | A2 | 19900704 | JP 1989-323656 | 19891213 |
| BR 8906452 | A | 19900828 | BR 1989-6452 | 19891214 |
| ZA 8909582 | Α | 19910828 | ZA 1989-9582 1988-29204 | 19891214 19881214 |
| PRIORITY APPLN. INFO OTHER SOURCE(S): | | GB RPAT 114:81247 | 1988-29204 | 19001214 |

GI

AB The title compds. I and II [X = CO, SO2; Y = O, S; R1 = H, (substituted) alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkenyl, alkoxy, phenoxy, etc.] were prepd. Reaction of benzoylaminooxyacetate III with AcCl in the presence of pyridine gave I (X = CO; R1 = R2 = Me; Y = O). I (X = CO; Y = O; R1 = Me; R2 = cyclopropyl) at 0.25 kg/ha (pre- or postemergent) gave substantial control of weeds (Setaria viridis, Solanum nigrum, etc.). Formulations contg. I are given.

III

131777-37-2P 131777-58-7P 131777-67-8P 131777-71-4P 131777-72-5P 131777-77-0P 131797-25-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide)

L16 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1989:534749 HCAPLUS

DOCUMENT NUMBER: 111:134749

TITLE: N-(alkoxycarbonyl)-N-naphthoylglycines as aldose

reductase inhibitors and pharmaceutical compositions

containing them

INVENTOR(S): Wrobel, Jay E.; Sestanj, Kazimir
PATENT ASSIGNEE(S): American Home Products Corp., USA

SOURCE: U.S., 18 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|---------|------------|----------------------------------|----------------------|
| US 4820727 | A | 19890411 | US 1987-137406 | 19871223 |
| CA 1326680 | A1 | 19940201 | CA 1988-586305 | 19881219 |
| WO 8905793 | A1 | 19890629 | WO 1988-US4625 | 19881221 |
| W: JP | | | | |
| JP 02502727 | T2 | 19900830 | JP 1989-500653 | 19881221 |
| EP 322256 | A1 | 19890628 | EP 1988-312314 | 19881223 |
| EP 322256 | В1 | 19930324 | | |
| R: AT, BE, | CH, DE, | ES, FR, GB | , GR, IT, LI, LU, NL, | |
| AT 87301 | E | 19930415 | AT 1988-312314 | 19881223 |
| PRIORITY APPLN. INFO. | : | | US 1987-137406 WO 1988-US4625 | 19871223 19881221 |

EP 1988-312314 19881223

OTHER SOURCE(S): CASREACT 111:134749; MARPAT 111:134749

GI

AB The title compds. [I: R1 = halo, C1-3 perfluoroalkoxy; R2 = alkyl; X = OH, NH2, alkoxy] and their pharmaceutically acceptable salts, useful as aldose reductase inhibitors, are prepd. Naphthoic acid II (R3 = OH) (prepn. given) was reacted with H2NCH2CO2CMe3 to give II (R3 = NHCH2CO2CMe3), which was treated with C1CO2Me to give I (R1 = F, R2 = Me, X = CMe3), which was hydrolyzed to give I (R1 = F, R2 = Me, X = OH)) (III). III in an in vivo study using galactosemic rats showed 86% inhibition of aldose reductase at 10-7 M vs. 65% for tolrestat at the same concn.

IT 122670-57-9P 122670-72-8P 122670-73-9P 122670-75-1P 122670-77-3P 122670-78-4P 122670-83-1P 122670-84-2P 122670-85-3P 122670-86-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of aldose reductase inhibitor)

1T 122670-49-9P 122670-50-2P 122670-51-3P 122670-52-4P 122670-53-5P 122670-56-8P

122670-87-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of as aldose reductase inhibitor)

L16 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:406594 HCAPLUS

DOCUMENT NUMBER: 97:6594

TITLE: The use of isoquinolinetriones in the synthesis of

benzo[c]phenanthridine alkaloids

AUTHOR(S): Pollers-Wieers, C.; Vekemans, J.; Toppet, S.;

Hoornaert, G.

CORPORATE SOURCE: Dep. Chem., Katholieke Univ. Leuven, Louvain, 3030,

Belq.

SOURCE: Tetrahedron (1981), 37(24), 4321-6

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

Wittig-Horner reaction of isoquinolinetriones I (R = H, OMe, NO2) with (EtO)2P(O)CH(CO2Et)CH2C6H3R12-3,4 (R1 = H; R12 = OCH2O) gave II (R = H, OMe, NO2, R12 = OCH2O; R = OMe, R1 = H) which on enolization and methylation with CH2N2 followed by intramol. photochem. cyclocondensation gave the benzophenanthridines III (R, R1 as before). In the latter cyclization III (R = OMe, R1 = H) was only a minor product (<5%), the major product being IV, which was obtained in 54% yield.

IT 82083-60-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

L16 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1977:131050 HCAPLUS

DOCUMENT NUMBER:

86:131050

TITLE:

Diffusion-transfer color photographic film unit

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

Yoshida, Yoshinobu; Ohishi, Yasushi Fuji Photo Film Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

by the coupler in the Ag halide emulsion layer.

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. _____ 19740903 JP 51027934 19760309 JP 1974-101681 19740903 JP 1974-101681 PRIORITY APPLN. INFO.: In prepg. a color diffusion-transfer photog. film unit obtained by depositing on a transparent support a neg. Ag halide emulsion layer contg. a diffusion-resistant coupler which forms a nondiffusible dye on reacting with the oxidized form of an arom. primary amine color developer, and a nonphotosensitive hydrophilic colloid layer contg. a diffusion-resistant coupler which upon development yields a diffusible pos. dye image by

IT 62050-96-8

RL: TEM (Technical or engineered material use); USES (Uses) (photog. coupler, for color diffusion-transfer photog. films)

reaction with the oxidized form of the arom. primary amine color

developer, a 3rd coupler is used which forms a dye absorbing in a region necessary to compensate for the undesirable absorption of the dye formed

L16 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:514023 HCAPLUS

DOCUMENT NUMBER: 83:114023

TITLE: Substituted benzene derivatives INVENTOR(S): Richter, Sidney B.; Barnas, Eugene

PATENT ASSIGNEE(S): Velsicol Chemical Corp., USA

SOURCE: U.S., 7 pp. Division of U.S. 3,840,874.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| US 3891701 | Α | 19750624 | US 1972-299497 | 19721020 |
| US 3649664 | A | 19720314 | US 1968-765962 | 19681008 |
| US 3804874 | Α | 19740416 | US 1971-148197 | 19710528 |
| PRIORITY APPLN. INFO. | : | | US 1968-765962 | 19681008 |
| | | | US 1971-148197 | 19710526 |

GI For diagram(s), see printed CA Issue.

The amides I (R = OMe; R1 = Ac, Me2CHO2C, EtCO, PhCO, EtO2C p-toluoyl), which are useful as acaricides, were prepd. by reaction of 3,2,6-Cl(MeO)2C6H2COCl with MeONH2.HCl to give I (R = H, R1 = OMe), which reacted with, e.g., Ac2O, Me2CHO2CCl, EtCOCl, PhCOCl, EtO2CCl, or p-MeC6H4COCl; in some cases II were also obtained. II (R1 = Me2CHO2C) was also an effective acaricide.

TT 36335-52-1P 36405-56-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and acaricidal activity of)

L16 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:569329 HCAPLUS

DOCUMENT NUMBER: 81:169329

TITLE: O-Alkyl-.alpha.-alkanoyloxybenzaldoximes INVENTOR(S): Richter, Sidney, M.; Barnas, Eugene F.

PATENT ASSIGNEE(S): Velsicol Chemical Corp.

SOURCE: U.S., 4 pp. Division of U.S. 3,597,467 (CA

75;110065f). CODEN: USXXAM

DOCUMENT TYPE: CODEN: USXXA

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------|-------|----------|-----------------|----------|
| | | | | |
| US 3839439 | A | 19741001 | US 1970-82195 | 19701019 |
| US 3597467 | A | 19710803 | US 1968-779247 | 19681126 |
| PRIORITY APPLN. IN | NFO.: | | US 1968-779247 | 19681126 |

GI For diagram(s), see printed CA Issue.

The benzamides I and the benzaldoximes II (R, R1 = Et, Me; R2 = EtS, EtO, Me2CHO, Me, Pr, PrO), useful as acaricides, were prepd. E.g., reaction of MeONH2.HCl with 6,3,2-Cl2(MeO)C6H2COCl gave 6,3,2-Cl2(MeO)C6H2CONHO Me, which reacted with ClC(O)SEt to give a mixt. of I and II (R = R1 = Me, R2 = EtS). Six I and three II were prepd. I (R = R1 = Me, R2 = EtS)· at 1000-3500 ppm killed 89-94% of the mites.

IT 33605-85-5P 33605-86-6P 33605-88-8P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic

L16 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:403621 HCAPLUS

DOCUMENT NUMBER: 81:3621

TITLE: O-Acylated benzohydroxamates

INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.

PATENT ASSIGNEE(S): Velsicol Chemical Corp.

SOURCE: U.S., 6 pp. Division of U.S. 3,649,664 (CA

76;153374u).

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|--------|----------|-----------------|----------|
| | | | | |
| US 3804874 | A | 19740416 | US 1971-148197 | 19710528 |
| US 3649664 | A | 19720314 | US 1968-765962 | 19681008 |
| US 3891701 | A | 19750624 | US 1972-299497 | 19721020 |
| PRIORITY APPLN. | INFO.: | | US 1968-765962 | 19681008 |
| | | | US 1971-148197 | 19710526 |

GI For diagram(s), see printed CA Issue.

AB Division of U.S. 3,649,664 (CA 76: 153374u). Benzamides (I; R = Ac, Me2CHO2C, EtCO, Bz, EtO2C, p-toluoyl) and their isomers (II) were prepd. by reaction of 3,2,6-Cl(MeO)2C6H2CONHOMe with RCl. I (R = Ac) at 100 ppm gave 89% mortality of Tetranychus urticae after 5 days. Other I and II were also useful as acaricides.

IT 36335-52-1P 36405-56-8P

L16 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:439343 HCAPLUS

DOCUMENT NUMBER: 79:39343

TITLE: Control of acarids using 3-halobenzamides

INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.

PATENT ASSIGNEE(S): Velsicol Chemical Corp.

SOURCE: U.S., 6 pp. Division of U.S. 3,649,664 (CA

76;153374u). CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--------|----------|-----------------|----------|
| | | | | |
| US 3733414 | А | 19730515 | US 1971-148198 | 19710528 |
| US 3649664 | A | 19720314 | US 1968-765962 | 19681008 |
| RIORITY APPLN. | INFO.: | | US 1968-765962 | 19681008 |

PRIORITY APPLN. INFO.:

US 1968-765962

19681008

3-Halobenzamides (I) and the isomeric imidoanhydrides (II), where X = halogen, R1 and R3 = alkyl, R2 = alkyl or alkoxy, and R4 = alkyl, alkenyl, alkoxy, alkylthio, or III (where A = O, S, or alkylene and Z = alkyl, alkenyl, alkenyl, alkoxy, alkylthio, halogen, nitro, cyano, or dialkylamino), possessed acaricidal properties. Thus, N-acetyl-3-chloro-N,2,6-trimethoxybenzamide [36335-49-6] (I, where X = Cl, R1 = R3 = R4 = Me, and R2 = OMe) was prepd. and killed 89% of the two-spotted spider mites (Tetranychus urticae) infesting bush lima bean plants when the plants were watered with a soln. contg. 100 ppm of the benzamide deriv., and O-methyl-.alpha.-[(isopropoxycarbonyl)oxy]-2,6-dimethoxy-3-

chlorobenzaldoxime [36335-50-9] (II, where X = Cl, R1 = R3 = Me, R2 = OMe, and R4 = OCH2Me2) killed 100% of the mites at 80 ppm.

IT 36335-52-1 36405-56-8

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(acaricides)

L16 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:153374 HCAPLUS

DOCUMENT NUMBER: 76:153374

TITLE: Acaricidal N-acylated benzohydroxamates INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.

PATENT ASSIGNEE(S): Velsicol Chemical Corp.

SOURCE: U.S., 6 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|----------|----------|-----------------|----------|
| | - | | | |
| US 3649664 | A | 19720314 | US 1968-765962 | 19681008 |
| US 3733414 | A | 19730515 | US 1971-148198 | 19710528 |
| US 3804874 | A | 19740416 | US 1971-148197 | 19710528 |
| US 3891701 | A | 19750624 | US 1972-299497 | 19721020 |
| PRIORITY APPLN. INFO. | : | | US 1968-765962 | 19681008 |
| | | | US 1971-148197 | 19710526 |

GI For diagram(s), see printed CA Issue.

The title compds. (I and II) were prepd. and tested on Tetranychus urticae [Tetranychus telarius]. Thus, 3,2,6-Cl(MeO)2C6H2CONHOMe was refluxed 18 hr with Me2CHO2CCl in C6H6-C5H5N and sepd. chromatog. into I and II (R = OCHMe2). Similarly prepd. were I (R = Me, Et, Ph, p-tolyl, OEt) and II (R = Ph, p-tolyl). I (R = Me) gave 89% mortality of T. telarius on lima bean plants after 5 days at 100 ppm.

IT 36335-52-1P 36405-56-8P

L16 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1971:510065 HCAPLUS

DOCUMENT NUMBER: 75:110065

TITLE: Acaricidal N,2-dimethoxy-N-(substituted

carbonyl)-3,6-dichlorobenzamides

INVENTOR(S): Richter, Sidney B.; Barnas, Eugene F.

PATENT ASSIGNEE(S): Velsicol Chemical Corp.

SOURCE: U.S., 4 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|--------|----------|-----------------|----------|
| | | | | |
| US 3597467 | А | 19710803 | US 1968-779247 | 19681126 |
| US 3839439 | A | 19741001 | US 1970-82195 | 19701019 |
| US 3891687 | А | 19750624 | US 1970-82181 | 19701019 |
| PRIORITY APPLN. | INFO.: | | US 1968-779247 | 19681126 |

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) and their isomers (II) are prepd. from an N-alkoxybenzamide. Thus, MeONH2.HCl and NaOH in 1.2 H2O-CHCl3 stirred

(cooling bath) with addn. of 2,3,6-MeO(Cl2)C6H2COCl and the mixt. stirred several hr gave 2,3,6-MeO(Cl2)C6H2CONHOMe (III). III, ClCOSEt and C5H5N refluxed 5 hr in C6H6 yielded a mixt. of I and II (R1 = R2 = Me, R3 = EtS, X1 = X2 = Cl), m. 71-4.degree.. Similarly were prepd. isomeric mixts. of I and II (R1 = R2 = Me, R3 = EtO, X1 = X2 = Cl; R1 = R2 = Me, R3 = Me2CHO, X1 = X2 = Cl). I (II) control various species of mites and ticks. 33605-85-5P 33605-86-6P 33605-88-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

=> fil caold FILE 'CAOLD' ENTERED AT 09:29:23 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

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This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L17 ANSWER 1 OF 1 CAOLD COPYRIGHT 2003 ACS on STN ANCA50:11279i CAOLD synthesis of 3 - fluoro - 4 - hydroxyphenylacetic acid TIΑU Lock, G. IT 314-66-9 345-72-2 350-29-8 351-52-0 351-54-2 370-60-5 403-20-3 404-46-6 404-90-0 452-14-2 455-72-1 458-09-3 574-74-3

=> fil reg FILE 'REGISTRY' ENTERED AT 09:29:45 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6 DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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     ANSWER 1 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN
     433926-32-0 REGISTRY
RN
     Carbamic acid, (4-hydroxy-2-methoxybenzoyl)-, 1,1-dimethylethyl ester
CN
             (CA INDEX NAME)
     3D CÓNCORD
FS
     C13 H17 N O5
MF
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SR LC

STN Files:

CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 137:20225

L11 ANSWER 2 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 353757-99-0 REGISTRY

CN Hydrazinecarboxylic acid, 2-(3,5-dimethylbenzoyl)-2-(1,1-dimethylethyl)-1-(2-ethyl-3-methoxybenzoyl)-, 1-(acetyloxy)ethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C28 H36 N2 O7

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 135:163628

L11 ANSWER 4 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 211322-07-5 REGISTRY

CN Carbamic acid, [(3,3'-dimethoxy[1,1'-biphenyl]-4,4'-diyl)bis[azo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]bis[(2-methoxyphenyl)-, bis[2-(2-methoxyethoxy)-1,1-dimethylethyl] ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C66 H68 N6 O16

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1: 129:176908 REFERENCE

ANSWER 6 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN L11 196306-22-6 REGISTRY

RN

Carbamic acid, [[1-iodo-7-methoxy-4-(phenylmethoxy)-2-CN naphthalenyl]carbonyl]-2-propenyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

3D CONCORD FS

C27 H28 I N O5 MF

CA SR

STN Files: CA, CAPLUS, TOXCENTER, USPATFULL LC

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\parallel & O \\
C - OBu - t \\
\parallel & | \\
C - N - CH_2 - CH = CH_2
\end{array}$$

$$\begin{array}{c|c}
CH_2 - Ph
\end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:262561

L11 ANSWER 12 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 193538-66-8 REGISTRY

CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-, 6-ethenyldecahydro-1-methoxy-4,6,9,10-tetramethyl-5-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [1R-(1.alpha.,3a.alpha.,4.beta.,6.alpha.,8.beta.,9.alpha.,9a.alpha.,10R*)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C33 H47 F N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 19 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 193537-96-1 REGISTRY

CN Carbamic acid, (3-hydroxybenzoyl)-, 6-ethenyldecahydro-1-methoxy-4,6,9,10-tetramethyl-5-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [1R-(1.alpha.,3a.alpha.,4.beta.,6.alpha.,8.beta.,9.alpha.,9a.alpha.,10R*)]-

(9CI) (CA INDEX NAME)

FS STEREOSEARCH MF C29 H39 N O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 21 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 193536-65-1 REGISTRY

CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-, 6-ethyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,8.beta.,9.alpha.,9a.beta.,10S*)]- (9CI) (CA INDEX NAME)

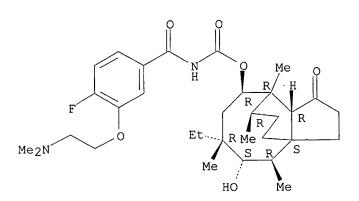
FS STEREOSEARCH

MF C32 H47 F N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 22 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 193536-54-8 REGISTRY

CN Carbamic acid, [3-[2-(dimethylamino)ethoxy]-4-fluorobenzoyl]-, 6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,8.beta.,9.alpha.,9a.beta.,10S*)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H45 F N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:161997

L11 ANSWER 23 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 193535-83-0 REGISTRY

CN Carbamic acid, [4-[2-(dimethylamino)ethoxy]-3-fluorobenzoyl]-, 6-ethenyldecahydro-5-hydroxy-4,6,9,10-tetramethyl-1-oxo-3a,9-propano-3aH-cyclopentacycloocten-8-yl ester, [3aS-(3a.alpha.,4.beta.,5.alpha.,6.alpha.,8.beta.,9.alpha.,9a.beta.,10S*)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C32 H45 F N2 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1: 127:161997 REFERENCE

ANSWER 28 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

190581-14-7 REGISTRY RN

Carbamic acid, [[4-[4-[[[1-[2-(benzoyloxy)-1-hydroxyethyl]-1H-tetrazol-5yl]thio]methyl]-2-nitrophenoxy]-1-hydroxy-2-naphthalenyl]carbonyl]-, CN methyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

C30 H24 N6 O10 S ΜF

SR CA

CA, CAPLUS STN Files: LC

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 127:42170

L11 ANSWER 29 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 148676-96-4 REGISTRY

CN Carbamic acid, [[5-[[4,6-dideoxy-4-(methylamino)-3-0-.beta.-D-xylopyranosyl-.beta.-D-galactopyranosyl]oxy]-5,6,8,13-tetrahydro-1,6,9,14-tetrahydroxy-11-methoxy-3-methyl-8,13-dioxobenzo[a]naphthacen-2-yl]carbonyl][2-(dimethylamino)-1-methyl-2-oxoethyl]-, phenylmethyl ester, [5S-[2(S*),5.alpha.,6.beta.]]- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Benzo[a]naphthacene, carbamic acid deriv.

MF C50 H55 N3 O19

SR CA

LC STN Files: CA, CAPLUS

PAGE 1-A

PAGE 2-A

OН

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:73091

L11 ANSWER 31 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 146173-25-3 REGISTRY

CN Carbamic acid, [(1,1-dioxido-2,3,4,5-thiophenetetrayl)tetrakis[4,1-

phenyleneazo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]tetrakis-,
tetra-2-thienyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Carbamic acid, [2,3,4,5-thiophenetetrayltetrakis[4,1-phenyleneazo(2-hydroxy-1,3-naphthalenediyl)carbonyl]]tetrakis-, tetra-2-thienyl ester, S,S-dioxide

MF C92 H56 N12 O18 S5

SR CA

LC STN Files: CA, CAPLUS

PAGĖ 1-A

PAGE 1-B



PAGE 2-A

0

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 119:105802

L11 ANSWER 48 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 136138-32-4 REGISTRY

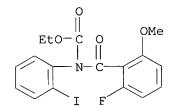
CN Carbamic acid, (2-fluoro-6-methoxybenzoyl)(2-iodophenyl)-, ethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 F I N O4

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CHEMINFORMRX (*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:159494

L11 ANSWER 50 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 134058-04-1 REGISTRY

CN Glycine, N-[[2-fluoro-6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-(methoxycarbonyl)-, 2-(diethylamino)-2-oxoethylester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C23 H24 F4 N2 O7

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

L11 ANSWER 52 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 134057-80-0 REGISTRY

CN Glycine, N-[(3,5-dibromo-6-methoxy-1-naphthalenyl)carbonyl]-N-(ethoxycarbonyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H15 Br2 N O6

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

L11 ANSWER 53 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 131797-25-6 REGISTRY

CN Acetic acid, [[(3,6-dichloro-2-methoxybenzoyl)(methoxycarbonyl)amino]oxy]-, (2,4-dichlorophenyl)methyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H15 C14 N O7

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 114:81247

L11 ANSWER 54 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 131777-77-0 REGISTRY

CN Acetic acid, [[(3,6-dichloro-2-methoxybenzoyl)(methoxycarbonyl)amino]oxy]-

, phenylmethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H17 C12 N O7

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 114:81247

L11 ANSWER 60 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 122670-87-5 REGISTRY

CN Glycine, N-[[2-bromo-6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-(ethoxycarbonyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C18 H15 Br F3 N O6

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, USPATFULL

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 115:91783

REFERENCE 2: 111:134749

L11 ANSWER 77 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 99468-84-5 REGISTRY

CN 1-Naphthalenesulfonic acid, 3-[(carboxyoctadecylamino)carbonyl]-4-hydroxy-(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C30 H45 N O7 S

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 104:3120

L11 ANSWER 78 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 82083-60-1 REGISTRY

CN Benzenepropanoic acid, 4-methoxy-2-[[(methoxycarbonyl)methylamino]carbonyl]-.beta.-oxo-.alpha.-(phenylmethylene)-, ethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C23 H23 N O7

LC STN Files: BEILSTEIN*, CA, CAPLUS

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 97:6594

L11 ANSWER 79 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 62050-96-8 REGISTRY

CN Carbamic acid, [[1-hydroxy-4-[[2-(1-oxopropyl)phenyl]azo]-2-naphthalenyl]carbonyl]phenyl-, hexadecyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C43 H53 N3 O5

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 86:131050

L11 ANSWER 80 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 36405-56-8 REGISTRY

CN Carbamic acid, (3-chloro-2,6-dimethoxybenzoyl)methoxy-, 1-methylethyl ester (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N, 2, 6-Trimethoxy-N-isopropoxycarbonyl-3-chlorobenzamide

FS 3D CONCORD

MF C14 H18 C1 N O6

LC STN Files: BEILSTEIN*, CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL (*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 83:114023

REFERENCE 2: 81:3621

REFERENCE 3: 79:39343

REFERENCE 4: 76:153374

L11 ANSWER 81 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 36335-52-1 REGISTRY

CN Carbamic acid, (3-chloro-2,6-dimethoxybenzoyl)methoxy-, ethyl ester (9CI) (CA INDEX NAME)

OTHER NAMES:

CN N,2,6-Trimethoxy-N-ethoxycarbonyl-3-chlorobenzamide

FS 3D CONCORD

MF C13 H16 C1 N O6

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 83:114023

REFERENCE 2: 81:3621

REFERENCE 3: 79:39343

REFERENCE 4: 76:153374

L11 ANSWER 82 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 33605-88-8 REGISTRY

Spear 10_0051511

CN Carbamic acid, (3,6-dichloro-2-ethoxybenzoyl)ethoxy-, propyl ester (8CI, 9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C15 H19 C12 N O5

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE) 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 81:169329

REFERENCE 2: 75:110065

L11 ANSWER 85 OF 85 REGISTRY COPYRIGHT 2003 ACS on STN

RN 314-66-9 REGISTRY

CN Carbamic acid, (3-fluoro-4-methoxybenzoyl)phenyl- (9CI) (CA INDEX NAME)

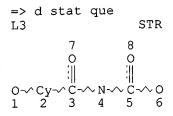
FS 3D CONCORD

MF C15 H12 F N O4

LC STN Files: CAOLD

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

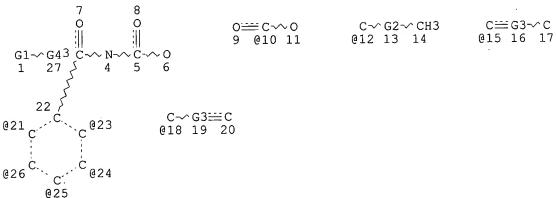
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

1293 SEA FILE=REGISTRY SSS FUL L3 L9

STR L10



VAR G1=X/OH/S/10/12/15/18

REP G2 = (3-3) C

REP G3=(0-2) C

VAR G4=23/24/25/26/21

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

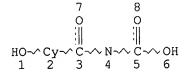
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 26

STEREO ATTRIBUTES: NONE

85 SEA FILE=REGISTRY SUB=L9 SSS FUL L10 L11

STR L12



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

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GRAPH ATTRIBUTES:
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RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 8

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L13
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L14
             84 SEA FILE=REGISTRY ABB=ON PLU=ON L11 NOT L13
L15
             20 SEA FILE=HCAPLUS ABB=ON PLU=ON L15
L16
          1208 SEA FILE=REGISTRY ABB=ON PLU=ON L9 NOT L11
L18
          85992 SEA FILE=REGISTRY ABB=ON PLU=ON PEPTIDE OR PEPTIDES
L19
          25228 SEA FILE=REGISTRY ABB=ON PLU=ON HORMONE OR HORMONES OR
L21
                POLYSACCHARIDE OR POLYSACCHARIDES OR MUCOPOLYSACCHARIDE OR
                MUCOPOLYSACCHARIDES OR CARBOHYDRATE OR CARBOHYDRATES OR LIPID
                OR LIPIDS OR INTERFERON OR INTERFERONS OR INTERLEUKIN OR
                INTERLEUKINS
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                ADRENOCORTICOTROPIN? OR OXYTOCIN? OR VASOPRESSIN? OR CROMOLYN?
                OR VANCOMYCIN? OR DESFERRIOXAMINE? OR ANTIBICROB? OR ANTIFUNG?
            209 SEA FILE=HCAPLUS ABB=ON PLU=ON L18
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         536979 SEA FILE=HCAPLUS ABB=ON PLU=ON L19 OR PEPTIDE OR PEPTIDES
L24
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                OR LIPIDS OR INTERFERON OR INTERFERONS OR INTERLEUKIN OR
                INTERLEUKINS
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                ADRENOCORTICOTROPIN? OR OXYTOCIN? OR VASOPRESSIN? OR CROMOLYN?
                OR VANCOMYCIN? OR DESFERRIOXAMINE? OR ANTIBICROB? OR ANTIFUNG?
             38 SEA FILE=HCAPLUS ABB=ON PLU=ON L23 AND (L24 OR L25 OR L26)
L27
             37 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 NOT (L14 OR L16)
L28
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=> =>

=> d ibib abs hitrn 128 1-37

L28 ANSWER 1 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:301087 HCAPLUS

DOCUMENT NUMBER: 138:321579

TITLE: Reverse-turn mimetics for treatment of cancer INVENTOR(S): Kahn, Michael; Eguchi, Masakatsu; Moon, Sung-Hwan; Chung, Jae-Uk; Lee, Sung-Chan; Jeong, Kwang-Won

PATENT ASSIGNEE(S): Choongwae Pharma Corporation, S. Korea

SOURCE: PCT Int. Appl., 78 pp.

OUNCE: FCI INC. Appr.,

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. KIND DATE | | | | | | | | A) | PPLI | CATI | ои ис | ο. | DATE | | | |
|----------------------|--------|-----|-----|-----|------|------|-----|-----|------|------|-------|-----|------------|------|-----|-----|
| | | | | | | | | | | | | | - - | | | |
| WO 200 | 030314 | 48 | A | 1 | 2003 | 0417 | | M | 0 20 | 02-K | R190 | 1 | 2002 | 1011 | | |
| W | : AE, | AG, | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | ΒZ, | CA, | CH, | CN, |
| | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, |
| | | | | | | | | | | | | | NO, | | | |
| | | | | | | | | | | | | | TN, | | | |
| | UA, | ŪĠ, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW, | ΑM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, |

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,

NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2001-976470 A 20011012

US 2002-87443

A 20020301

OTHER SOURCE(S):

MARPAT 138:321579

GT

Conformationally constrained compds. I [A is CHR3 or CO; B is CHR4 or CO; AΒ D is CHR5 or CO; E is -ZR6- or CO; G is -(XR7)n-, -CHR7NR8-, -CO(XR9)- or CO; W is Y-CO, CONH, SO2 or null; Y is O or S; X, Z are N or CH; n = 0 or 1; R1-R9 are amino acid chains] which mimic the secondary structure of reverse-turn regions of biol. active peptides and proteins are disclosed. Such reverse-turn mimetic structures have utility over a wide range of fields, including use as diagnostic and therapeutic agents. Selected library compds. were assayed for oncogenic activity, e.g., triazinone deriv. II showed GI50 = 2.28 and 1.78 .mu.M against SW480 and HCT116 cells, resp.

512853-02-0P ΙT

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(reverse-turn mimetics for treatment of cancer)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

4

ACCESSION NUMBER: 2002:897060 HCAPLUS

DOCUMENT NUMBER:

TITLE:

139:90254

AUTHOR(S):

Development of an injectable formulation of the novel

platelet factor receptor antagonist, E5880

Asai, Yasuyuki

CORPORATE SOURCE:

Formulation Research Laboratory, Kawashima, Eisai Co.,

Ltd, Gifu, 501-6195, Japan

Yakuzaigaku (2002), 62(3), 124-131

CODEN: YAKUA2; ISSN: 0372-7629

PUBLISHER:

SOURCE:

Nippon Yakuzai Gakkai

DOCUMENT TYPE:

Journal

LANGUAGE: Japanese

An injectable formulation of E5880, a novel platelet activating factor receptor antagonist, was designated from the study of pH-stability, the selection of excipient, and the relationship between moisture and stability. The physicochem. properties of E5880 micelles in the optimized formulation (0.6 mg/mL of E5880, 0.1% citric acid, 10% lactose, pH 2.8) were characterized. The crit. micelle concn. of E5880 in the formulation was 0.09 mg/mL, and the structure was spherical. The micellar size was approx. 5 nm and did not change before or after lyophilization and storage. The no. of mols. per micelle was 40. The micropolarity around the hydrocarbon region of the micelle was similar to that of butanol. 128420-61-1, E5880

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(development of injectable formulation of novel platelet factor receptor antagonist, E5880)

IT **63-42-3**, Lactose

IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (development of injectable formulation of novel platelet factor receptor antagonist, E5880)

L28 ANSWER 3 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:869496 HCAPLUS

DOCUMENT NUMBER: 137:363033

TITLE: Peptidomimetic modulators of cell adhesion

INVENTOR(S): Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni,

Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang,

Shoameng; Hu, Zenjian

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S.

Ser. No. 491,078.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2002168761 A1 20021114 US 2001-769145 20010124

PRIORITY APPLN. INFO: US 2000-491078 A2 20000124

OTHER SOURCE(S): MARPAT 137:363033

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 60482-96-4, L-Leucine, L-arginyl-L-prolyl-L-tyrosyl-L-isoleucyl137833-31-9, Myelopeptide 2 255377-83-4, Carbamic acid,
[(2-oxo-2H-pyran-6-yl)carbonyl]-, phenyl ester
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

IT 475228-48-9 475228-49-0 475228-50-3 475228-51-4 475228-52-5 475228-53-6 475228-54-7 475228-56-9 475228-57-0

RL: PRP (Properties) (unclaimed protein sequence; peptidomimetic modulators of cell

IT 110590-64-2

RL: PRP (Properties)

adhesion)

(unclaimed sequence; peptidomimetic modulators of cell adhesion)

```
Spear 10 0051511
L28 ANSWER 4 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:
                           2002:293418 HCAPLUS
DOCUMENT NUMBER:
                           136:330549
TITLE:
                           Topical antibiotic composition for treatment of eye
                           infection
INVENTOR(S):
                           Bandyopadhyay, Rebanta; Secreast, Pamela J.; Hawley,
                           Leslie C.; McCurdy, Vincent E.; Tyle, Praveen; Bandyopadhyay, Paramita; Singh, Satish K.
PATENT ASSIGNEE(S):
                           Pharmacia & Upjohn Company, USA
                           PCT Int. Appl., 41 pp.
SOURCE:
                           CODEN: PIXXD2
DOCUMENT TYPE:
                           Patent
LANGUAGE:
                           English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                    KIND
                              DATE
                                              APPLICATION NO.
```

_____ _____ WO 2002030395 WO 2001-US31590 20011010 A1 20020418 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2001096753 A5 20020422 AU 2001-96753 20011010 EP 1324748 EP 2001-977651 Α1 20030709 20011010 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR PRIORITY APPLN. INFO.: 20001010 US 2000-239136P P US 2001-285340P P 20010420 WO 2001-US31590 W 20011010

OTHER SOURCE(S): MARPAT 136:330549

AB There is provided a pharmaceutical compn. suitable for topical administration to an eye, the compn. comprising as active agent one or more oxazolidinone antibacterial drugs, for example linezolid, in a concn. effective for treatment and/or prophylaxis of a gram-pos. bacterial infection of the eye, and one or more ophthalmically acceptable excipient ingredients that reduce rate of removal of the compn. from the eye by lacrimation such that the compn. has an effective residence time in the eye of about 2 to about 24 h. The compn. is, for example, an in situ gellable soln., suspension or soln./suspension. Formulations contg. a gelling or mucoadhesive agent (xanthan gum, HPMC, poloxamer 407, and polycarbophil) resulted in significant amts. of linezolid being retained in the exterior of treated eyes 1 h or more after application.

IT 50-23-7, Hydrocortisone 50-28-2, Estradiol, biological studies 1404-90-6, Vancomycin 11138-66-2, Xanthan gum 16110-51-3, Cromolyn 128420-61-1

, Minopafant

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(topical antibiotic compn. for treatment of eye infection)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 2002:71873 HCAPLUS

DOCUMENT NUMBER: 136:123671

TITLE: Ophthalmic formulation of a selective cyclooxygenase-2

inhibitory drug

INVENTOR(S):

Kararli, Tugrul T.; Bandyopadhyay, Rebanta; Singh,

Satish K.; Hawley, Leslie C.

PATENT ASSIGNEE(S):

Pharmacia & Upjohn Company, USA

SOURCE:

PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
                 KIND DATE
    PATENT NO.
                    ____
                                         _____
    ______
    WO 2002005815 A1 20020124 WO 2001-US22061 20010712
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
        UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        US 2001-904098
                                                         20010712
    US 2002035264
                     A1
                           20020321
                                         EP 2001-953462
                                                          20010712
                           20030423
    EP 1303271
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                       US 2000-218101P P 20000713
                                       US 2001-279285P P 20010328
                                       US 2001-294838P P 20010531
                                       US 2001-296388P P 20010606
                                       WO 2001-US22061 W 20010712
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OTHER SOURCE(S): MARPAT 136:123671

A pharmaceutical compn. suitable for topical administration to an eye contains a selective COX-2 inhibitor or nanoparticles of a drug of low water soly., at a concn. effective for the treatment and/or prophylaxis of a disorder in the eye, and 1 or more ophthalmically acceptable excipients that reduce rate of removal from the eye such that the compn. has an effective residence time of 2-24 h. Also provided is a method of treating and/or preventing a disorder in an eye, the method comprising administering to the eye a compn. of the invention. Thus, an ophthalmic nanoparticle suspension contained valdecoxib at 2.15 mg/g, 1.2% glycerin, 0.8% EDTA disodium salt, 4.0% Gelcarin GP-379NF, 0.21% SeaSpen PF and 0.82% Povidone.

50-23-7, Hydrocortisone 50-28-2, Estradiol, biological studies 1404-90-6, Vancomycin 7585-39-9D,

.beta.-Cyclodextrin, hydroxypropyl ethers 9000-07-1, Carrageenan

9012-76-4, Chitosan 11138-66-2, Xanthan gum 16110-51-3, Cromolyn 128420-61-1, Minopafant

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ophthalmic formulation of cyclooxygenase-2 inhibitor pharmaceuticals) THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 10

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2001:545724 HCAPLUS

DOCUMENT NUMBER:

135:147398

TITLE:

Peptidomimetic modulators of cell adhesion

Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, INVENTOR(S): Feng; Chen, Zhigang; Michaud, Stephanie Denise; Wang,

Shoameng; Hu, Zengjian

PATENT ASSIGNEE(S):

Adherex Technologies, Inc., Can.

SOURCE:

PCT Int. Appl., 416 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

```
PATENT NO.
                    KIND DATE
                                                APPLICATION NO. DATE
                               _____
      _____
                        ____
                                                _____
                        A2
     WO 2001053331
                                20010726
                                                WO 2001-US2508
                                                                    20010124
     WO 2001053331
                         A3
                                20020711
                      C2 20021031
     WO 2001053331
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
              SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                             US 2000-491078 A 20000124
                            MARPAT 135:147398
OTHER SOURCE(S):
     Peptidomimetics of cyclic peptides, and compns. comprising such
     peptidomimetics are provided. The peptidomimetics have a
     three-dimensional structure that is substantially similar to a
```

peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic **peptide** that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

IT 60482-96-4 137833-31-9, Myelopeptide 2 255377-83-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC. (Process); USES (Uses)

(peptidomimetic modulators of cell adhesion)

IT 110590-64-2

RL: PRP (Properties)

(unclaimed sequence; peptidomimetic modulators of cell adhesion)

L28 ANSWER 7 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:214224 HCAPLUS

DOCUMENT NUMBER: 135:266957

TITLE: Role of Platelet-Activating Factor in Hepatectomy with

Pringle's Maneuver

AUTHOR(S): Gu, Mei; Takada, Yasutsugu; Fukunaga, Kiyoshi;

Ishiguro, Shingo; Taniguchi, Hideki; Seino, Kenichiro;

Yuzawa, Kenji; Otsuka, Masaaki; Todoroki, Takeshi;

Fukao, Katashi

CORPORATE SOURCE: Department of Surgery, Institue of Clinical Medicine,

University of Tsukuba, Tsukuba City, Ibaraki,

305-8575, Japan

SOURCE: Journal of Surgical Research (2001), 96(2), 233-238

CODEN: JSGRA2; ISSN: 0022-4804

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB Background. Interruption of hepatic inflow is commonly used to reduce blood loss during extensive liver resection, but may cause liver dysfunction. The present study investigated the effects of platelet-activating factor (PAF) antagonist E5880 on total liver warm ischemia and 70% hepatectomy. Methods. Rabbits were used in this study and were divided into four groups: group 1, those treated with only 70%

hepatectomy; group 2, those treated with only 20 min Pringle's maneuver; group 3, those treated with both Pringle's maneuver and 70% hepatectomy without pretreatment; and group 4, those pretreated with PAF antagonist E5880 (0.3 mg/kg) followed by Pringle's maneuver and 70% hepatectomy. The remnant liver function was then evaluated after reperfusion. Results. Seven-day survival rates in both groups 1 and 2 were 100%. E5880 treatment significantly increased 7-day survival rate (group 4: 38% vs. group 3: 0%, P < 0.05) after a combination of Pringle's maneuver and 70% hepatectomy. The elevations of serum liver enzymes (GOT, GPT, mGOT, and LDH) were significantly inhibited in group 4 at 1 and 4 h after reperfusion. Portal venous pressure and the energy charge of liver were also significantly improved in group 4, compared with those in group 3. Endothelin-1 levels of arterial and portal venous blood progressively increased after reperfusion; however, there were no significant differences between the two groups. Leukocyte infiltration into the liver was significantly inhibited in group 4. Conclusion. E5880 pretreatment has protective effects on liver function after 70% hepatectomy with Pringle's maneuver in rabbits. (c) 2001 Academic Press. 65154-06-5, Platelet-activating factor

ΙT

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (role of platelet-activating factor in hepatectomy with Pringle's maneuver)

IT **128420-61-1**, E5880

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(role of platelet-activating factor in hepatectomy with Pringle's

maneuver)

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 8 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:167792 HCAPLUS

134:227363 DOCUMENT NUMBER:

TITLE: Topical use of kappa opioid agonists to treat otic

pain

Gamache, Daniel A.; Yanni, John M. INVENTOR(S): PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA

PCT Int. Appl., 24 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| | | | | |
| WO 2001015678 | A2 | 20010308 | WO 2000-US22766 | 20000818 |
| WO 2001015678 | Zβ | 20020103 | | |

W: AU, BR, CA, CN, JP, MX, PL, TR, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 1999-387359

A 19990831

PRIORITY APPLN. INFO.:

up to 100%.

Topical or intranasal compns. and methods for treating otic pain caused by otitis, surgery, or swimmer's ear are disclosed. In particular, the invention discloses compns. and methods of using .kappa.-opioid agonists locally for the prevention or alleviation of otic pain. Compns. also comprise antimicrobial, antiallergy, and anti-inflammatory agents to treat otic infections, allergies, and inflammations assocd. with otic pain. For example, an otic/nasal soln. contained (by wt.) a .kappa.-opioid EMD-61753 0.01-1.0%, phosphate buffered saline 1.0%, Polysorbate 80 0.5%, and water

ΙT 65154-06-5, Platelet-activating factor RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonists; topical compns. contg. .kappa.-opioid agonists for treatment of otic pain)

ΙT 1404-90-6, Vancomycin 16110-51-3, Cromolyn 128420-61-1, Minopafant

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (topical compns. contg. .kappa.-opioid agonists for treatment of otic pain)

L28 ANSWER 9 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

2001:167791 HCAPLUS ACCESSION NUMBER:

134:227362 DOCUMENT NUMBER:

Use of 5-HT1B/1D agonists to treat otic pain TITLE:

Gamache, Daniel A.; Yanni, John M.; Sharif, Najam A. INVENTOR(S):

Alcon Laboratories, Inc., USA PATENT ASSIGNEE(S):

PCT Int. Appl., 22 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE KIND DATE _____ WO 2001015677 A2 20010308 WO 2001015677 A3 20020328 WO 2000-US22764 20000818 20010308

W: AU, BR, CA, CN, JP, MX, PL, TR, US, ZA

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRIORITY APPLN. INFO.: US 1999-387358 A 19990831

Topical otic or intranasal compns. and methods for treating otic pain caused by otitis, surgery, or swimmer's ear are disclosed. In particular, the invention discloses compns. and methods of using 5-HT1B/1D agonists for the prevention or alleviation of otic pain. Compns. also comprise an antimicrobial, antiallergy, and anti-inflammatory agent to treat otic infections, allergies, and inflammations assocd. with otic pain. For example, an otic/nasal soln. contained CGS-12066A 0.01-1.0%, phosphate buffered saline 1.0%, Polysorbate 80 0.5%, and water up to 100% (wt./vol.), resp.

ΙT 65154-06-5, PAF

> RL: BSU (Biological study, unclassified); BIOL (Biological study) (antagonists; topical compns. of 5-HT1B/1D agonists for treatment of otic pain)

1404-90-6, Vancomycin 7585-39-9D,

.beta.-Cyclodextrin, ethers with propanediol 9004-62-0, Hydroxyethyl cellulose 16110-51-3, Cromolyn

128420-61-1, Minopafant

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (topical compns. of 5-HT1B/1D agonists for treatment of otic pain)

L28 ANSWER 10 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

2000:771045 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 134:275524

TITLE: Pharmacologic graft protection without donor pretreatment in liver transplantation from

non-heart-beating donors

Gu, Mei; Takada, Yasutsugu; Fukunaga, Kiyoshi; AUTHOR(S):

Ishiguro, Shingo; Taniguchi, Hideki; Seino, Kenichiro; Yuzawa, Kenji; Otsuka, Masaaki; Todoroki, Takeshi;

Fukao, Katashi

Department of Surgery, Institute of Clinical Medicine, CORPORATE SOURCE:

University of Tsukuba, Ibaraki, 305-8575, Japan

Transplantation (2000), 70(7), 1021-1025 SOURCE:

CODEN: TRPLAU; ISSN: 0041-1337 Lippincott Williams & Wilkins

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

Non-heart-beating donors (NHBDs) are considered potential sources of transplant organs in an effort to alleviate the problem of donor shortage in clin. liver transplantation. The authors investigated the possibility of pharmacol. protection of hepatic allograft function from NHBDs without donor pretreatment. Orthotopic liver transplantation was performed using swine. In donors, cardiac arrest was induced by stopping the respirator. 45 Min after cessation of the respirator, the liver was flushed with cold lactated Ringer's soln. including heparin and with the University of Wisconsin (UW) soln., and then preserved for 8 h at 4.degree.C in the UW soln. The swine were divided into 2 groups: a control group and a treated group. In the treated group, an endothelin antagonist TAK-044 was added to the UW solns. (10 mg/L), and TAK-044 (10 mg/kg body wt.) and a platelet activating factor antagonist E5880 (0.3 mg/kg body wt.) were also administered to the recipients. TAK-044 and E5880 treatment significantly increased the 7-day survival rate of the recipients (100% vs. 17%, P<0.05). In the treated group, portal venous pressure immediately after reperfusion of the graft was significantly lower than in the control group, and postoperative increase in serum concns. of glutamic oxaloacetic transaminase and total bilirubin was attenuated. Moreover, the energy charge and ATP concn. of the liver were rapidly restored after reperfusion. Pharmacol. modulation with TAK-044 and E5880 avoiding donor pretreatment can improve the viability of hepatic allografts procured from NHBDs.

IT 128420-61-1, E5880 157380-72-8, TAK-044

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. graft protection without donor pretreatment in liver transplantation)

REFERENCE COUNT:

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

34

ACCESSION NUMBER: 2000:144900 HCAPLUS

DOCUMENT NUMBER: 132:194661

TITLE: Preparation of ring modified cyclic peptide

analogs as antifungal agents

INVENTOR(S): Borromeo, Peter Stanley; Cohen, Jeffrey Daniel;

Gregory, George Stuart; Henle, Stacy Kay; Hitchcock, Stephen Andrew; Jungheim, Louis Nickolaus; Mayhugh, Daniel Ray; Shepherd, Timothy Alan; Turner, William

Wilson, Jr.

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA: | rent | NO. | | KI | ND | DATE | | | A | PPLI | CATI | N NC | Э. | DATE | | | |
|-----|------|------|-----|-----|-----|------|------|-----|-----|------|------|------------|-----|------|------|-----|-----|
| | | | | | | | | | | | | - - | | | | | |
| WO | 2000 | 0110 | 23 | A. | 2 | 2000 | 0302 | | W | 0 19 | 99-U | S189 | 80 | 1999 | 0818 | | |
| WO | 2000 | 0110 | 23 | A. | 3 | 2000 | 0615 | | | | | | | | | | |
| | W: | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, |
| | | | | | | GB, | | | | | | | | | | | |
| | | KP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | MW, | MX, |
| | | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, |
| | | UA, | UG, | US, | UZ, | VN, | YU, | ZW, | AM, | AZ, | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM |

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             CA 1999-2340676 19990818
     CA 2340676
                        AA
                             20000302
                                             AU 1999-55726
                                                               19990818
     AU 9955726
                        Α1
                             20000314
                                             EP 1999-942321
                                                              19990818
     EP 1107981
                        Α2
                             20010620
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             JP 2000-566295
     JP 2002528388
                        T2
                             20020903
                                                               19990818
                                          US 1998-97228P P 19980820
PRIORITY APPLN. INFO.:
                                          WO 1999-US18908 W 19990818
                          MARPAT 132:194661
OTHER SOURCE(S):
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
     A method is provided for modifying the cyclic peptide ring
     system of echinocandin-type compds. to produce new analogs, e.g., I (R =
     alkyl, alkenyl, alkynyl, aryl, heteroaryl; R1, R4 = H, OH; R2 = H, Me; R3
     = H, Me, CH2CONH2, CH2, CH2NH2; R5 = OH, OPO3H2, OSO3H; R6 = H, OSO3H),
     having antifungal activity. The process comprises opening the
     cyclic peptide ring, cleaving the terminal ornithine unit,
     inserting at least one new amino acid or other synthetic unit and closing
     the ring to produce a new cyclic peptide ring structure. Thus,
     cyclic peptide II [R = p-(pentyloxy)-p-terphenyl] was prepd. and
     showed min. inhibitory concns. 0.005-0.156 .mu.g/mL against four fungi.
     259825-46-2P
TT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of ring modified cyclic peptide analogs as
        antifungal agents)
     79404-91-4, Cilofungin
TΤ
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (prepn. of ring modified cyclic peptide analogs as
        antifungal agents)
     259824-70-9P 259824-73-2P 259824-82-3P
IT
     259825-05-3P 259825-11-1P 259825-12-2P
     259825-24-6P 259825-25-7P 259825-33-7P
     259825-39-3P 259825-54-2P 259825-61-1P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (prepn. of ring modified cyclic peptide analogs as
        antifungal agents)
L28 ANSWER 12 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:
                          1999:576914 HCAPLUS
DOCUMENT NUMBER:
                          131:228727
                          Preparation of pyridazine derivatives as
TITLE:
                          interleukin 1.beta. production inhibitors
                          Ohkuchi, Masao; Kyotani, Yoshinori; Shigyo, Hiromichi;
INVENTOR(S):
                          Yoshizaki, Hideo; Koshi, Tomoyuki; Kitamura, Takahiro;
                          Matsuda, Takayuki; Oda, Soichi; Habata, Yuriko;
                          Kotaki, Kyoko
                          Kowa Co., Ltd., Japan; et al.
PATENT ASSIGNEE(S):
                          PCT Int. Appl., 112 pp.
SOURCE:
                          CODEN: PIXXD2
                          Patent
DOCUMENT TYPE:
                          Japanese
LANGUAGE:
FAMILY ACC. NUM. COUNT:
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PATENT INFORMATION:

| PA | PATENT NO. | | | | KIND DATE | | | | APPLICATION NO. | | | | | DATE | | | | |
|---------|------------|------|------|--------|-----------------|------|------|-----|-----------------|------|------|------|-----|------|------|-----|-----|----|
| WC | 9944 | 995 | | A: | - - 1 | 1999 | 0910 | | W | | | | | 1999 | 0226 | | | |
| | W: | AL, | AM, | AT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CU, | CZ, | DE, | |
| | | DK, | EE, | ES, | FΙ, | GB, | GD, | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | |
| | | ΚE, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | MN, | MW, | |
| | | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | |
| | | TT, | UA, | UG, | US, | UΖ, | VN, | YU, | ZW, | ΑM, | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM |
| | RW: | GH, | GM, | KΕ, | LS, | MW, | SD, | SL, | SZ, | UG, | ZW, | ΑT, | BE, | CH, | CY, | DE, | DK, | |
| | | ES, | FI, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | |
| | | | | | | GW, | | | | | | | | | | | | |
| | 2321 | | | | | | | | | | | | | | | | | |
| | 9926 | | | | | | | | A | U 19 | 99-2 | 6414 | | 1999 | 0226 | | | |
| | 7394 | | | | | | | | | | | | | | | | | |
| EF | 1061 | 077 | | A. | 1 | 2000 | 1220 | | E | P 19 | 99-9 | 0650 | 9 | 1999 | 0226 | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙT, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | IE, | | | | | | | | | | | | | | | | |
| | 5061 | | | | | | | | | | | | | 1999 | 0226 | | | |
| | 6403 | | | | | | | | | | | | | 2000 | | | | |
| NC | 2000 | 0043 | 53 | Α | | 2000 | 0901 | | No | 20 | 00-4 | 353 | | 2000 | 0901 | | | |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | JP 1: | 998- | 4939 | 6 | Α | 1998 | 0302 | | | |
| | | | | | | | | | WO 1 | 999- | JP92 | 5 | W | 1999 | 0226 | | | |
| GI | | | | | | | | | | | | | | | | | | |

$$R^{1}$$
 R^{2}
 R^{4}
 R^{3}
 R^{3}

AB The title compds. I [R1 represents lower alkoxy, lower alkylthio or halogeno; R2 represents H, lower alkoxy, lower alkylthio or halogeno; R3 represents OH, CN, halogeno, lower cycloalkyl, lower alkyl or lower alkenyl optionally substituted by an optionally substituted arom. group or optionally substituted carbamoyl; R4 represents COOH, lower alkoxycarbonyl, optionally substituted carbamoyl, optionally substituted amino or optionally substituted ureido; and the dotted line means a single bond or a double bond between the carbon atoms at the 4- and 5-positions] are prepd. I are useful as preventives/remedies for immunol. diseases, inflammatory diseases, ischemic diseases, etc. In an in vitro test using cells, 2-cyclopropylmethyl-6-(4-methoxyphenyl)-4-methylcarbamoyl-2H-pyridazin-3-one showed IC50 of 0.038 .mu.M against lipopolysaccharide-induced interleukin 1 .beta. prodn.

IT 243862-55-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyridazine derivs. as interleukin 1.beta. prodn.

inhibitors)

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 7 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 13 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1999:198291 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:13296*

TITLE: Quantitative determination of E5880 in rat plasma by

high-performance liquid chromatography/electrospray

ionization tandem mass spectrometry

AUTHOR(S):

Kikuchi, Kiyomi; Sano, Yoshihisa; Taniguchi, Sachie; Matsui, Kenji; Namiki, Masayuki; Ito, Hatsue; Sakurai,

Hideki; Yoshimura, Tsutomu

CORPORATE SOURCE: Tsukuba Research Laboratories, Eisai Co. Ltd.,

Tsukuba, 300-2635, Japan

Journal of Mass Spectrometry (1999), 34(2), 93-97 SOURCE:

CODEN: JMSPFJ; ISSN: 1076-5174

PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

A simple and sensitive method is described for the detn. of E5880 in rat

plasma. The method is based on high-performance liq. chromatog./electrospray ionization mass spectrometry, using deuterated

E5880 as an internal std. Selected reaction monitoring is employed for selectivity and sensitivity, this in turn enables quantification in a short period of time (within 7 min) over the extended range of 0.1-1000 ng/mL with acceptable precision and accuracy. The method demonstrated to

be suitable for the quant. anal. of E5880 in rat plasma. The pharmacokinetic profile of E5880 after a single i.v. administration of

E5880 was elucidated.

128420-61-1, E5880 ΤТ

> RL: ANT (Analyte); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatoq./electrospray ionization tandem mass spectrometry)

IT

RL: ARU (Analytical role, unclassified); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatog./electrospray ionization tandem mass spectrometry)

IT 65154-06-5, Blood platelet-activating factor

RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)

(quant. detn. of E5880 in rat plasma by high-performance liq. chromatog./electrospray ionization tandem mass spectrometry)

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 11 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1999:64781 HCAPLUS ACCESSION NUMBER:

130:125100 DOCUMENT NUMBER:

TITLE: Preparation of 6-azauracil derivatives as IL-5

biosynthesis inhibitors

Freyne, Eddy Jean Edgard; Boeckx, Gustaaf Maria; Van INVENTOR(S):

Wauwe, Jean Pierre Frans; Diels, Gaston Stanislas

Marcella

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

PCT Int. Appl., 50 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| | PAT | TENT | NO. | | KI | ND | DATE | | | | | | | | Э. | DATE | | | | |
|-------|-------|--------|---------|------|--------------|-------|------|------|------|------|------|------|-------|-------|------|------|------|-----|-----|-----|
| | WO | 9902 | 504 | | A | 1 | 1999 | 0121 | | | | 998- | | | 2 | 1998 | 0702 | | | |
| | | W: | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR | , B | Y, | CA, | CH, | CN, | CU, | CZ, | DE, | |
| | | | | | | | | | | | | | | | | IL, | | | | |
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| | | PM. | • | | • | | | | - | - | | | | | | CY, | | | | |
| | | 1/// • | | | | | | | | | | | | | | BJ, | | | | |
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| | 7. [] | 9884 | | | | | | | | | | | _ 0 / | 1/12 | | 1000 | 0702 | | | |
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| | | 7566 | | | | | | | | - | ın 1 | 000 | 0.7 | 0.000 | ^ | 1000 | 0700 | | | |
| | EΡ | 1003 | | | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | | | NL, | | PT, | ΙE, | F.T |
| | | 9900 | 585 | | Α | | 2000 | 0815 | | E | CE 1 | 999- | -58 | 35 | | 1998 | 0702 | | | |
| | BR | 9811 | 499 | | Α | | 2000 | 0919 | | F | 3R 1 | 998- | -11 | 1499 | | | | | | |
| | | 5016 | 27 | | Α | | 2002 | | | | | | | | | 1998 | 0702 | | | |
| | JΡ | 2002 | 5080 | 01 | \mathbf{T} | 2 | 2002 | 0312 | | Ü | JP 1 | 999- | -50 | 812 | 7 | 1998 | 0702 | | | |
| | ZA | 9806 | 095 | | Α | | 2000 | 0110 | | 2 | ZA 1 | 998- | -60 | 95 | | 1998 | 0709 | | | |
| | NO | 2000 | 0000 | 94 | А | | 2000 | 0308 | | 1 | 10 2 | 000- | -94 | 1 | | 2000 | 0107 | | | |
| | US | 2002 | 0424 | 16 | A | 1 | 2002 | 0411 | | Ţ | JS 2 | 001- | -85 | 5506 | 8 | 2001 | 0514 | | | |
| PRIOR | RITY | APP | LN. | INFO | . : | | | | | EP 3 | 997 | -202 | 211 | L7 | A | 1997 | 0710 | | | |
| | | | | | | | | | | WO : | 998 | -EP4 | 419 | 92 | W | 1998 | 0702 | | | |
| | | | | | | | | | | | | | | | | 2000 | | | | |
| OTHER | SC | URCE | (S): | | | MAF | RPAT | 130: | 1251 | 00 | | - | | | | | | | | |

GI

RZCR1R2R3 [I; R = 3,5-dioxo-1,2,4-triazin-2(3H)-yl; R1 = H, alkyl, alkoxy, AB (hetero)aryl, etc.; R2 = cyano or C(:X)YR5; R3 = (un)substituted Ph; R5 = H, (ar) alkyl, (hetero) aryl, etc.; X = O or S; Y = bond, O, S, NR6; R6 = H, alkyl(oxy), aralkyl; Z = (un)substituted phenylene] were prepd. Thus, 4,3-Cl(F3C)C6H3CH2CN was arylated by 1,2,3-trichloro-5-nitrobenzene and the .alpha.-methylated product reduced to give methylphenylbenzeneacetonitrile II (R = NH2) which was diazotized and the product condensed with NCCH2CONHCO2Et to give II [R = NHN:C(CN)CONHCO2Et]. The latter was cyclized and the product converted in 2 steps to II [R =3,5-dioxo-1,2,4-triazin-2(3H)-yl]. Data for biol. activity of I were given.

ΙT 219909-76-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 6-azauracil derivs. as IL-5 biosynthesis inhibitors) REFERENCE COUNT: THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 15 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:787765 HCAPLUS

DOCUMENT NUMBER: 130:191586

TITLE: Energy metabolism of hepatic allografts subjected to

prolonged warm ischemia and pharmacologic modulation with FK506 and platelet activating factor antagonist

AUTHOR(S): Takada, Y.; Fukunaga, K.; Taniguchi, H.; Yuzawa, K.;

Otsuka, M.; Fukao, K.

CORPORATE SOURCE: Department of Surgery, Institute of Clinical Medicine,

Tsukuba University, Tsukuba, 305, Japan

SOURCE: Transplantation Proceedings (1998), 30(7), 3694-3695

CODEN: TRPPA8; ISSN: 0041-1345

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB To investigate the possibility of pharmacol. modulation of hepatic allograft function from graft procurement from non-heart-beating donors (NHBD), the effects of treatment with FK506 and a platelet activating factor (PAF) antagonist were evaluated in relation to changes in hepatic adenine nucleotide metab. in porcine orthotopic liver transplantation (LTx). The present study suggests that FK506 and the PAF antagonist E5880 can improve the function of grafts subjected to prolonged warm ischemia in NHBD, and that the protective effect of FK506 is time dependent. Although the exact mechanism has yet to be clarified, the protective effects of the two drugs are synergistic, and combined treatment with these agents has the most beneficial effect on graft function, indicating a potential for use in clin. LTx from NHBD.

IT **128420-61-1**, E5880

REFERENCE COUNT:

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(energy metab. of hepatic allografts subjected to prolonged warm ischemia and pharmacol. modulation with FK506 and platelet activating factor antagonist)

IT 65154-06-5, Platelet-activating factor

RL: BSU (Biological study, unclassified); BIOL (Biological study) (energy metab. of hepatic allografts subjected to prolonged warm ischemia and pharmacol. modulation with FK506 and platelet activating factor antagonist)

L28 ANSWER 16 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1997:35982 HCAPLUS

DOCUMENT NUMBER: 126:157369

TITLE: Design, synthesis and bioactivities of heterocyclic

lipids as platelet activating factor

antagonists

AUTHOR(S): Chung, Sung-Kee; Ban, Su Ho; Kim, Si Hwan; Woo, Soon

Hyung

CORPORATE SOURCE: Dep. Chem., Pohang Univ. Sch. Technol. Res. Inst. Ind.

Sci. Technol., Pohang, 790-784, S. Korea

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

SOURCE: Korean Journal of Medicinal Chemistry (1996), 6(2),

294-302

CODEN: KJMCE7; ISSN: 1225-0058

PUBLISHER: Korean Chemical Society

DOCUMENT TYPE: Rolean Chemical

LANGUAGE: English

Page 55

AB Title compds. such as I [X = (CH2)n, n = 1-4; O, AcN], II [X = CH2CH2, O, S; T = CO; n = 4, 5; N(Het) = pyridine, thiazole, quinoline], and III (X = CH2, O, S, NAc, NBz; Y = Cl, I) were prepd. and tested for their ability to displace [3H]-PAF from its receptor in rabbit platelet membranes and to inhibit PAF-induced aggregation of rabbit platelets.

Ι

IT 156719-77-6P 156719-78-7P 156719-80-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(heterocyclic lipids as platelet activating factor

antagonists)

IT 65154-06-5, Blood platelet-activating factor

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(heterocyclic **lipids** as platelet activating factor antagonists)

L28 ANSWER 17 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:316586 HCAPLUS

DOCUMENT NUMBER:

125:48744

TITLE:

Prevention of cerebrovasospasm following subarachnoid

hemorrhage in rabbits by the platelet-activating

factor antagonist, E5880

AUTHOR(S):

Hirashima, Yutaka; Endo, Shunro; Kato, Ryoko; Takaku,

Akira

CORPORATE SOURCE:

Department Neurosurgery, Toyama Medical and

Pharmaceutical University, Toyama, Japan Journal of Neurosurgery (1996), 84(5), 826-830

CODEN: JONSAC; ISSN: 0022-3085

PUBLISHER:

SOURCE:

American Association of Neurological Surgeons

DOCUMENT TYPE: Journal LANGUAGE: English

AB Recently, an important role of platelet-activating factor (PAF), an inflammation mediator, has been demonstrated in the genesis of cerebral vasospasm following subarachnoid hemorrhage (SAH). In the current study, the authors examd. whether i.v. administration of the novel PAF antagonist, E5880, can prevent vasospasm following SAH in rabbits. A vasospasm model was produced in three groups of rabbits using two

subarachnoid injections of autologous arterial blood, followed by i.v. administration of distd. water (control), a low dose of E5880 (0.1 mg/kg in distd. water), or a high dose of E5880 (0.5 mg/kg in distd. water). Neurol. deterioration was largely prevented in the rabbits that received Basilar artery constriction was also reduced by both doses of Histol. examn. at autopsy predominantly showed ischemic changes in E5880. the brain. Animals in each E5880-treated group exhibited ischemic changes less frequently than those in the control group. Plasma thromboxane B2 concns. were reduced in rabbits treated with E5880. Platelet-activating factor was immunolocalized in the intima and media of the basilar artery in the control group. The PAF immunoreactivity demonstrated in the basilar artery was decreased in the E5880 groups in a dose-dependent manner. Thus, this study provides evidence that PAF may play a role in the pathogenesis of vasospasm after SAH and that i.v. administration of E5880 is a promising approach in preventing vasospasm.

65154-06-5, Platelet-activating factor ΙT

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (prevention of cerebrovasospasm following subarachnoid hemorrhage in rabbits by platelet-activating factor antagonist E5880 in relation to plasma thromboxane B2 concns.)

128420-61-1, E5880 ΙT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prevention of cerebrovasospasm following subarachnoid hemorrhage in rabbits by platelet-activating factor antagonist E5880 in relation to plasma thromboxane B2 concns.)

L28 ANSWER 18 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1996:22564 HCAPLUS ACCESSION NUMBER:

124:202845 DOCUMENT NUMBER:

TITLE:

Heterocyclic lipids with PAF antagonist activities 5. Synthesis of 2,4-bis(hydroxymethyl)-

thietane and -azetidine derivatives

Chung, Sung-Kee; Ban, Su Ho; Kim, Si Hwan; Woo, Soon AUTHOR(S):

Hyung

Dep. of Chemistry, Pohang Univ. of Science and CORPORATE SOURCE:

Technology, Pohang, 790-784, S. Korea

Korean Journal of Medicinal Chemistry (1995), 5(2), SOURCE:

112-24

CODEN: KJMCE7; ISSN: 1225-0058

Korean Chemical Society PUBLISHER:

Journal DOCUMENT TYPE:

English LANGUAGE: GI

Conformationally constrained analogs of platelet activating factor incorporating a lipophile and a pyridine-like heterocycle coupled to core groups such as 2,4-bis(hydroxymethyl)thietanes I [X = S] and II and -azetidines I [X = NR, R = Ac, Bz, CH2Ph] through hydrogen bond accepting linkages such as ether and carbamate have been synthesized as potent PAF receptor antagonists.

IT 65154-06-5, Platelet activating factor

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(antagonist; prepn. of heterocyclic lipids with PAF

antagonist activities)
156720-40-0P 156720-41-1P 156720-45-5P

156720-74-0P

TΤ

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic **lipids** with PAF antagonist activities)

1T 156719-77-6P 156719-78-7P 156719-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of heterocyclic lipids with PAF antagonist
 activities)

L28 ANSWER 19 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:12197 HCAPLUS

DOCUMENT NUMBER: 124:203052

TITLE: New methods for solid phase peptide

synthesis of transition-state analog inhibitors of

HIV-1 protease and DPP-IV

AUTHOR(S): Piron, Jan; Tourwe, Dirk

CORPORATE SOURCE: Org. Chem., Free Univ. Brussels, Brussels, B-1050,

Belg.

SOURCE: Letters in Peptide Science (1995), 2(3/4), 229-32

CODEN: LPSCEM; ISSN: 0929-5666

PUBLISHER: ESCOM
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new and stereoselective method to synthesize hydroxyethylamine and hydroxymethylamide **peptide** bond isosteres is developed. The key step is the addn. of 2-trimethylsilylthiazole to .alpha.-amino aldehydes,

followed by transformation to .alpha.-hydroxy-.beta.-amino aldehydes. The stereochem. of the addn. can be manipulated by the choice of the nitrogen substitution. The isosteres are easily synthesized via solid-phase peptide synthesis, which rapidly gives the desired pseudopeptides.

IT 174147-83-2P 174147-84-3P 174147-85-4P

174290-78-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(solid-phase prepn. of hydroxyethylamine and hydroxymethylamide transition-state analog protease inhibitors)

L28 ANSWER 20 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:598395 HCAPLUS

DOCUMENT NUMBER:

123:314308

TITLE:

Synthesis and bioactivities of heterocyclic

lipids as PAF antagonists. 2

AUTHOR(S):

Chung, S. K.; Ban, S. H.; Kim, S. H.; Kim, B. E.; Woo,

S. H.

CORPORATE SOURCE:

Dep. Chemistry, Pohang Univ. Science Technolog,

Pohang, 790-784, S. Korea

SOURCE:

Bioorganic & Medicinal Chemistry Letters (1995),

5(10), 1097-102

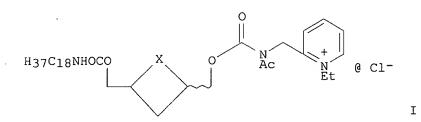
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER:
DOCUMENT TYPE:

Elsevier Journal

LANGUAGE:

English



Conformationally constrained analogs of platelet activating factor (PAF) incorporating a lipophile and a pyridine-like heterocycle linked to core groups such as 1,1-bis(hydroxymethyl)cyclobutane and 2,4-bis(hydroxymethyl)-oxetane, -thietane and -azetidine skeletons, e.g. I (X = 0, S, NAc, NBz), via hydrogen bond acceptors such as ether and/or carbamate have been synthesized, and their in vitro and in vivo bioactivities have indicated potent and selective PAF antagonism.

IT 65154-06-5, Platelet activating factor RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and bioactivities of heterocyclic lipids as platelet activating factor antagonists)

IT 156719-77-6P 156719-78-7P 156719-80-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis and bioactivities of heterocyclic lipids as platelet activating factor antagonists)

L28 ANSWER 21 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:387277 HCAPLUS

DOCUMENT NUMBER:

1995:387277 HCAI

TITLE:

Effects of platelet-activating factor antagonist on

preservation/reperfusion injury of the graft in

porcine orthotopic liver transplantation

Takada, Yasutsugu; Boudjema, Karim; Jaeck, Daniel; AUTHOR(S):

Bel-Haouari, Mohammed; Doghmi, Mustapha; Chenard, Marie-Pierre; Wolf, Philippe; Cinqualbre, Jacques

Laboratoire de Chirurgie Experimentale, Fondation CORPORATE SOURCE:

Transplantation, Strasbourg, 67200, Fr. Transplantation (1995), 59(1), 10-16

CODEN: TRPLAU; ISSN: 0041-1337

DOCUMENT TYPE: Journal LANGUAGE: English

SOURCE:

To investigate the role of platelet-activating factor (PAF) in the AB preservation/reperfusion injury of the liver graft, the effect of treatment with a potent PAF antagonist (E5880) was evaluated in a pig orthotopic liver transplantation model. The graft liver was flushed out and preserved for 8 h at 4.degree. using a simplified Univ. of Wisconsin (UW) soln. The PAF antagonist was administered into the UW soln. (1 mg/L), into the rinsing soln. (1 mg/L), and to a recipient pig (0.3 mg/kgd.i.v.) in group 1. The PAF antagonist was not given in the control group (group 2). Postoperative survival of >12 h was 100% (9/9) in group 1 and 56% (5/9) in group 2. At 12 h after reperfusion of the graft (RPF), the arterial ketone body ratio (acetoacetate to 3-hydroxybutyrate) increased to 1.54 in group 1, compared with 0.95 in group 2. In group 2, blood leukocyte count decreased to 8.3 .times. 103/.mu.L at 2 h after RPF, in contrast to a slight increase in group 1 (14.3 .times. 103/.mu.L). At 4 h after RPF, glutamic oxaloacetic transaminase (461 vs. 712 U/L), glutamic pyruvic transaminase (65 vs. 82 U/L), and the lactate level (6.2 vs. 9.4 mmol/L) in arterial blood were significantly lower in group 1 than in group 2. Light and electron microscopic study at 1 h after RPF showed neutrophil sludging in the sinusoids and sinusoidal endothelial cell damage in group 2, while these findings were attenuated in group 1. It is suggested that PAF plays a key role in microcirculatory disturbance of the liver graft manifested on reperfusion, and that the treatment with E5880 has a protective effect against preservation/reperfusion injury of the graft in liver transplantation.

IT 65154-06-5, Platelet-activating factor 128420-61-1,

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(platelet-activating factor antagonist effects on preservation/reperfusion injury in liver transplantation)

L28 ANSWER 22 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:533939 HCAPLUS

DOCUMENT NUMBER: 121:133939

TITLE: Preparation of bis(carbamoyloxymethyl)thietanes and

analogs as PAF antagonists

Woo, Soon Hyung; Chung, Sung Kee; Ban, Soo Ho; Kim, Si INVENTOR(S):

Hwan

PATENT ASSIGNEE(S): Pohang Iron and Steel Co., Ltd., S. Korea; Research

Institute of Industrial Science and Technology

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE KIND DATE PATENT NO. _____ WO 9400447 WO 1993-KR53 19930630 A1 19940106

W: JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE KR 9513770 B1 19951115 KR 1992-11554 19920630

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KR 9615088
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                                             KR 1993-5778
                                                               19930407
                        В1
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PRIORITY APPLN. INFO .:
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                                          WO 1993-KR53
                                                            W
                                                               19930630
                                          US 1994-193163
                                                            B3 19940210
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OTHER SOURCE(S):

MARPAT 121:133939

GI

R1OCH2CHRCH2CHRCH2OR2 and (RCH2)2C(CH2OR1)CH2OR2 [R2 = 0, SO0-2, CH2, AB (alky)amino, etc.; R1 = alk(en)yl, alkynyl, CONH2, etc.; R2 = T(CH2)nV X-q; T = bond, CO, CO2, CONH, etc.; V = cyclic ammonio, N+R5R6R7, N-alkylpyridinium-2-yl; R5-R7 = alkyl; X- = halide, alkanesulfonate, carboxylate, etc.; n = 1-10; q = 0 or 1] were prepd. Thus, CH2(CHBrCO2Me)2 was cyclocondensed with Na2S and the reduced product converted in 5 steps to title compd. I which had IC50 of 0.021.mu.M against PAF-induced platelet aggregation in vitro.

65154-06-5, PAF IT

RL: RCT (Reactant); RACT (Reactant or reagent)

(antagonists of, bis(carbamoyloxymethyl)thietanes and analogs as)

156720-40-0P 156720-41-1P 156720-45-5P TΤ

156720-74-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of PAF antagonist)

156719-77-6P 156719-78-7P 156719-80-1P ΙT

156719-93-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as PAF antagonist)

L28 ANSWER 23 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1994:289271 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 120:289271

Radioimmunoassay for the Novel Platelet Activating TITLE:

Factor Receptor Antagonist E5880

Suzuki, Hiromasa; Asano, Osamu; Tadano, Kyoichi; AUTHOR(S):

Horie, Toru

Tsukuba Research Laboratories, Eisai Co. Inc., CORPORATE SOURCE:

Tsukuba, 300-26, Japan

Journal of Pharmaceutical Sciences (1994), 83(5), SOURCE:

657-61

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal LANGUAGE: English

A direct RIA for E5880, 1-ethyl-2-[[N-(2-methoxybenzoyl)-N-[[(2R)-2-methoxybenzoyl)]]methoxy-3-[[[4-[(octadecylcarbamoyl)oxy]piperidino]carbonyl]oxy]propoxy]ca rbonyl]amino]methyl]pyridinium chloride, a novel analog-type antagonist of platelet activating factor (PAF), was developed. In this procedure, [3H]E5880 was used as the radioligand, and the antiserum was obtained from rabbits immunized with hapten covalently bound to bovine serum albumin. The hapten represents a structural analog of E5880, with a carboxyl group on the terminal carbon of the 3-position side chain. A metabolite of E5880, deacyl-E5880, cross-reacted weakly (1.8%) with this antiserum. The assay buffer for the RIA consisted of PBS, pH 6.5, contg. 1% BSA to prevent the degrdn. of E5880 in aq. soln. and its adsorption to the tube. The detection limit of the assay was 200 pg/mL when a 0.1-mL plasma sample was used. The RIA was used for the direct anal. of E5880 in dog plasma. The validity of the RIA in dog plasma was demonstrated by comparative anal. of a no. of samples by HPLC (r = 0.995, slope = 0.9425). The RIA was also used to det. the pharmacokinetics of E5880 in the dog. After the i.v. administration of E5880 (0.2 mg/kg), plasma levels declined biexponentially. The initial plasma half-life, including the distribution phase, was 0.26 h, and the plasma half-life of elimination was 9.96 h.

IT **128420-61-1**, E5880

RL: ANST (Analytical study)

(detn. in blood by RIA and pharmacokinetics of)

IT 153735-22-9DP, conjugates with serum albumins

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and antibodies formation from, for RIA of platelet

activating factor receptor antagonist E5880)

IT 153735-21-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, for RIA of platelet activating factor receptor antagonist E5880)

IT 153735-20-7

RL: ANST (Analytical study)

(reaction with tritiated octadecylamine and quaternization with

iodomethane of)

L28 ANSWER 24 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:188554 HCAPLUS

DOCUMENT NUMBER: 120:188554

TITLE: Potential etiologic role of PAF in two major septic

complications; disseminated intravascular coagulation

and multiple organ failure

AUTHOR(S): Ou, M. C.; Kambayashi, J.; Kawasaki, T.; Uemura, Y.;

Shinozaki, K.; Shiba, E.; Sakon, M.; Yukawa, M.; Mori,

Τ.

CORPORATE SOURCE: Med. Sch., Osaka Univ., Suita, 565, Japan

SOURCE: Thrombosis Research (1994), 73(3-4), 227-38

CODEN: THBRAA; ISSN: 0049-3848

DOCUMENT TYPE: Journal LANGUAGE: English

A possible role of platelet-activating factor (PAF) in the occurrence of the two septic complications, i.e., disseminated intravascular coaqulation (DIC) and multiple organ failure (MOF) was investigated, employing a rabbit model and a novel PAF antagonist E5880. By an instillation of fecal suspension into the common bile duct of the rabbit, manifestations of DIC and MOF were obsd. with high reproducibility by 9 h after the . septic insult. E5880 was i.v. administered to 12 rabbits for 1 h after the septic insult at dose of 1 mg/kg (n=6) or 3mg/kg (n=6). All the rabbits were subjected to observation of vital signs and serial detn. of lab. tests for 9 h and then lung, liver and kidney were removed for histol. examn. Blood endotoxin level increased significantly by 9 h after the septic insult. Although administration of E5880 did not affect the endotoxemia, the antagonist attenuated in a dose related manner lab. manifestation of DIC such as thrombocytopenia and prolonged prothrombin time as well as that of MOF such as increase in serum bilirubin and creatinine level. The beneficial effect of E5880 on MOF was also confirmed by the histol. evaluation. These observations indicated that

PAF is deeply involved in the occurrence of DIC and MOF due to sepsis and E5880 may be one of the modalities to treat or prevent these two major septic complications.

IT 65154-06-5, Platelet-activating factor

RL: BIOL (Biological study)

(in sepsis induced disseminated intravascular coagulation and multiple organ failure, E5880 therapy in relation to)

IT **128420-61-1**, E5880

RL: BIOL (Biological study)

(platelet-activating factor antagonism by, in sepsis induced disseminated intravascular coagulation and multiple organ failure)

L28 ANSWER 25 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

.1994:153730 HCAPLUS

DOCUMENT NUMBER:

120:153730

TITLE:

Synergistic combinations of PAF antagonists and anticholinergic agents as drugs for treatment of

bronchial asthma.

INVENTOR(S):

Heuer, Hubert

PATENT ASSIGNEE(S):

Boehringer Ingelheim KG, Germany

SOURCE:

Ger. Offen., 13 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------|-------------|---------|-----------------|-----------------|----------|
| | | | | | |
| | DE 4219659 | A1 | 19931223 | DE 1992-4219659 | 19920616 |
| PRIOF | RITY APPLN. | INFO.: | DE | 1992-4219659 | 19920616 |
| | COURGE (O) | 147 | DD#M 100 150710 | | |

MARPAT 120:153730 OTHER SOURCE(S):

Mixts of hetrazepine deriv. PAF antagonists (Markush given) with anticholinergics are synergistic drugs for treatment of bronchial asthma. The effectiveness of a combination of atropine with WEB 2170 was shown on PAF-induced bronchoconstriction, in guinea pigs.

65154-06-5D, PAF, antagonists, mixts. with anticholinergics TΤ 128420-61-1D, e 5880, mixts. with anticholinergics

RL: BIOL (Biological study)

(drugs for treatment of bronchial asthma, synergistic)

L28 ANSWER 26 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1993:610708 HCAPLUS

DOCUMENT NUMBER:

119:210708

TITLE:

Treatment of dysmenorrhea with PAF antagnoists

INVENTOR(S):

Kutter, Eberhard

PATENT ASSIGNEE(S):

Boehringer Ingelheim KG, Germany

SOURCE:

Ger. Offen., 8 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

German

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------|----------|----------------------|---------------------------------|----------------------|
| | | | | |
| DE 4200610 WO 9313776 | Al Al | 19930715 19930722 | DE 1992-4200610 WO 1993-EP47 | 19920113 19930112 |

W: JP, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE DE 1992-4200610

PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 119:210708

PAF antagonists are drugs for the treatment of dysmenorrhea, esp. primary

Spear 10 0051511

dysmenorrhea (no data). Suitable PAF antagonists are alprazolam, dilthiazem, brotizolam, hetrazepine derivs., etc. Formulation examples are given. The PAF antagonist 2-[4-(2-chlorophenyl)-9-methyl-6Hthieno[3,2-f][1,2,4]triazolo[4,3-a][1,4]diazepin-2-yl]ethane-1-carboxylic acid morpholide was prepd. by the reaction of 2-[4-(2-chlorophenyl)-9methyl-6H-thieno[3,2-f][1,4]diazepin-2-yl]ethane-1-carboxylic acid with N-hydroxybenzotriazole and morpholine, in abs. DMF.

128420-61-1, E-5880

RL: BIOL (Biological study)

(PAF antagonist, dysmenorrhea treatment by)

65154-06-5, Blood platelet-activating factor

RL: BIOL (Biological study)

(antagonist of, as drugs for treatment of dysmenorrhea)

ANSWER 27 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1993:449384 HCAPLUS ACCESSION NUMBER:

119:49384 DOCUMENT NUMBER:

Preparation of 7-(indol-3-yl carbonyl)pyrrolo[1,2-TITLE:

c]thiazoles and related compounds as platelet

activating factor antagonists

Summers, James B.; Davidsen, Steven K.; Holms, James INVENTOR(S):

H.; Pireh, Daisy; Heyman, H. Robin; Martin, Michael

B.; Steinman, Douglas H.; Sheppard, George S.;

Carrera, George M., Jr.

Abbott Laboratories, USA PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2 Patent

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATE | ENT N | 0. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | Ο. | DATE | | |
|------|------|-------|-----------|-------|-------|-------|------|------|---------|------|-------|-------------|------------------|-----|-------------|------|----|
| | WO 9 | 3018 | - | | A | 1 | 1993 | 0204 | | W | 0 19 | 92-U | - S589 | 0 | 1992 | 0714 | |
| | | W: . | • | • | | | | D.C. | | C.D. | C.D. | T.M. | T 11 | MC | NIT | CE | |
| | | KW: 7 | | - | CH, | | 1993 | | | | | | | | NL, 1992 | | |
| | | 2233 | | | A. | | 1993 | | | | | | | | 1992 | | |
| | | | | | | _ | | | | A | .0 19 | 92-2 | 2221 | | 1992 | 0/14 | |
| | | 55124 | _ | | B | | 1994 | | | | | | | _ | | | |
| | EP 5 | 9592 | 4 | | Α | 1 | 1994 | 0511 | | E | P 19 | 92-9 | 1589 | 5 | 1992 | 0714 | |
| | EP 5 | 9592 | 4 | | В | 1 | 1999 | 0414 | | | | | | | | | |
| | | R: . | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | MC, | NL, | SE |
| | AT 1 | 7879 | 6 | | E | | 1999 | 0415 | | A | т 19 | 92-9 | 1589 | 5 | 1992 | 0714 | |
| | ES 2 | 21315 | 30 | | T | 3 | 1999 | 0801 | | E | S 19 | 92-9 | 1589 | 5 | 1992 | 0714 | |
| | JP 3 | 31359 | 17 | | B | 2 | 2001 | 0219 | | J | P 19 | 93-5 | 0291 | 3 | 1992 | 0714 | |
| | US 5 | 4591 | 52 | | Α | | 1995 | 1017 | | U | S 19 | 93-1 | 6203 | 4 | 1993 | 1202 | |
| PRIO | RITY | APPL | N. | INFO. | : | | | | | US 1 | 991- | 7316 | 81 | A2 | 1991 | 0717 | |
| | | | | | | | | | | พด 1 | 992- | US58 | 90 | A | 1992 | 0714 | |

MARPAT 119:49384 OTHER SOURCE(S):

Title compds. [I; R1 = H, halo, furyl, thienyl, thiazolyl, pyridyl, AB pyrimidyl, alkyl, alkoxy, alkanoyl, (substituted) Ph, PhCO, PhO, phenylalkoxy phenylalkanoyl; R2 = H, alkyl, hydroxy(alkyl), carboxy(alkyl), amino(alkyl), acyl(alkyl), sulfonyl(alkyl), sulfamoyl(alkyl), carbamoyl(alkyl); R3-R5 = H, alkyl; X = S, SO, SO2, O, CH2; Y = N, N+R12, N+O-, N+OR12, N+NR7R8, N+NHCOR9, etc.; A = O, NOR10, NOCOR10, NNR7R8; R7-R9 = H, alkyl; R7R8 = heterocyclyl; R10 = H, alkyl, carboxyalkyl, aminoalkyl, hydroxylalkyl, sulfonylalkyl, sulfamoylalkyl, cyanoalkyl, tetrazolylalkyl, CONHNH2, (substituted) phenylalkyl; R12 = alkyl], were prepd. Thus, 3-(pyridin-3-yl)-7-[1-(N,N-dimethyl(carbamoyl)-6-(4-fluorophenyl)indol-3-ylcarbonyl]-1H,3H-pyrrolo[1,2-c]thiazole (prepn. given) was heated with NH2OH.HCl in pyrine/EtOH at 110.degree. to give title compd. II. II inhibited platelet activating factor with Ki = 0.3

IT 65154-06-5, Platelet activating factor RL: RCT (Reactant); RACT (Reactant or reagent) (antagonists, indolylcarbonylpyrrolothiazoles)

147620-29-9P IT

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as platelet activating factor antagonist)

L28 ANSWER 28 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:252496 HCAPLUS

DOCUMENT NUMBER: 118:252496

Blood platelet-activating factor (PAF) levels and TITLE: effects of PAF antagonist in patients with septic DIC

Tamakuma, Shoetsu; Ono, Satoshi; Shiba, Tadaaki; AUTHOR(S):

Isogai, Masahiro; Sekikawa, Takayoshi; Inoue, Shingo;

Nakatani, Toshio; Nakano, Akira; Mori, Keiichiro;

Tateishi, Akio

Natl. Def. Med. Coll., Tokorozawa, 359, Japan CORPORATE SOURCE:

Igaku no Ayumi (1993), 164(13), 913-4 SOURCE:

CODEN: IGAYAY; ISSN: 0039-2359

DOCUMENT TYPE: Journal LANGUAGE: Japanese

Elevated levels of PAF were found in the blood of 15 patients with septic DIC in comparison to normal controls, as detd. by gas chromatog./mass spectroscopy. Administration of an anti-PAF agent (E 5880) induced a significant increase in the platelet count and improvement of the symptoms due to organ injuries.

ΙT **128420-61-1**, E 5880

RL: BIOL (Biological study)

(as PAF antagonist, septic disseminated intravascular coagulation response to, in humans)

65154-06-5, Blood platelet-activating factor ΙT

RL: BIOL (Biological study)

(of blood in human with septic disseminated intravascular coagulation)

HCAPLUS COPYRIGHT 2003 ACS on STN L28 ANSWER 29 OF 37

ACCESSION NUMBER:

1992:174669 HCAPLUS

DOCUMENT NUMBER: TITLE:

116:174669 Preparation of sugar analogs as platelet-activating

factor (PAF) antagonists

INVENTOR(S):

Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,

Kokichi; Et, Al.

PATENT ASSIGNEE(S):

SOURCE:

Eisai Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|-----------------|-----------------|----------|
| | | | | |
| JP 03200779 | A2 | 19910902 | JP 1989-344683 | 19891227 |
| JP 2933962 | B2 | 19990816 | | |
| PRIORITY APPLN. INFO. | : | JP | 1989-344683 | 19891227 |
| OTHER SOURCE(S): | MA | RPAT 116:174669 | | |
| GI | | | | |

$$R^{10}$$
 OR^{2}
 $A(CH_{2})_{m}$
 OR^{3}
 $CH_{2}O-CNR^{4}(CH_{2})$
 O
 OMe
 MeO
 OMe
 OMe

Sugar analogs [I; R1-R3 = alkyl; R4 = acyl; A = 3,4,5-trimethoxybenzyloxy, AB 4-biphenylylmethoxy, etc.; G = 2-pyridyl its quaternary salts; m, n =1-3], useful as blood platelet aggregation inhibitors, are prepd. Deprotection of 390 mg ether II (R = tetrahydropyran-2-yl) with pyridine p-tosylate in EtOH gave 289 mg alc. II (R = H), which (280 mg) was stirred with pyridine 162, ClCO2Ph 165, and 2-(aminomethyl)pyridine 570 mg in CH2Cl2 at 0.degree. to give 289 mg carbamate II (R = Q, R5 = H) (III). Acetylation of 280 mg III with Ac20 in pyridine gave 218 mg amide deriv.

Spear 10_0051511

II (R = Q, R5 = Ac), which (70 mg) was refluxed with EtI to give 60 mg pyridinium salt II.EtI (R = Q, R5 = Ac) (IV). IV showed IC50 of 0.084 .mu.M against PAF-induced platelet aggregation and IC50 of 0.027 .mu.M in PAF receptor binding assay. 65154-06-5, Platelet-activating factor RL: RCT (Reactant); RACT (Reactant or reagent) (antagonists, sugar analogs) 138085-48-0P 138085-49-1P 138105-87-0P 138105-88-1P 138105-89-2P 138105-90-5P 138874-18-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of platelet aggregation inhibitor)

138085-58-2P 138085-60-6P 138085-61-7P TΥ 138085-62-8P 138085-63-9P 138085-64-0P 138085-65-1P 138085-66-2P 138085-67-3P 138085-68-4P 138085-69-5P 138874-19-8P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as platelet-activating factor antagonist)

ANSWER 30 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1992:41979 HCAPLUS

116:41979

TITLE:

IT

ΙT

Preparation of 1-deoxy-or 1,2-dideoxyglucopyranose

derivatives as platelet activating factor (PAF)

inhibitors

INVENTOR(S):

Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,

Kokichi; Et, Al.

PATENT ASSIGNEE(S):

Eisai Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

Patent Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------------|-----------------|----------|
| JP 03200780 | A2 | 19910902 | JP 1989-344684 | 19891227 |
| JP 2933963 | B2 | 19990816 | 01 1000 544004 | 13031227 |
| PRIORITY APPLN. INFO.: | | | 1989-344684 | 19891227 |
| OTHER SOURCE(S): | MA | RPAT 116:41979 | | |

$$R^{2}$$
 R^{3}
 R^{4}
 R^{6}
 Q^{1}
 R^{6}
 Q^{2}
 R^{6}
 Q^{2}
 R^{6}
 Q^{1}
 R^{6}
 R^{6}

AΒ The title compds. [I; R1 = H, alkoxy; R2 = alkoxy; R3 = O2CNHR5, O2CNR7(CH2)nG, Q; G = 2-pyridyl, Q1; R4 = CH2O2CNR9(CH2)mG1; R5 = alkyl; R6 = H, alkoxy, Ph; R7, R9 = acyl; R8 = H, alkyl; X- = pharmacol. acceptable anion; n, m = 1-3; $G\bar{1}$ = 2-pyridyl, $Q\bar{1}$, Q2, CH2O2CNHR12; R11 = H, alkoxy, Ph; R12 = alkyl; excluding R3 = O2CNR7(CH2)nG and R4 = alkylCH2O2CNR9(CH2)mG1], useful for treatment and prevention of PAF-assocd. diseases, e.g. inflammation, disseminated intravascular coagulation (DIC), endotoxin shock, asthma, peptic ulcer, and nephritis, are prepd. Thus, acylation of II (R13 = H, R14 = CH2C6H4OMe-4) (prepn. given) with C18H37NCO in refluxing PhMe contg. pyridine, and oxidative deprotection of the resulting II (R13 = CONHC18H37, R14 = CH2C6H4OMe-4) with (NH4)2Ce(NO3)6 in aq. MeCN to give II (R13 = CONHC18H37, R14 = H) followed by condensation with PhO2CCl and 2-aminomethylpyridine in CH2Cl2 contg. pyridine gave II [R13 = CONHC18H37, R14 = N-(2-pyridylmethyl)carbamoyl] which was acetylated with Ac20 in pyridine at 110.degree. for 16 h and then quaternized with EtI under reflux to give II (R13 = CONHC18H37, R14 = CONAcCH2Q1, R8 = Et, X- = I-) (III). III in vitro inhibited PAF-induced coagulation of human blood platelet with IC50 of 0.17 .mu.M.

IT 65154-06-5, Platelet activating factor

RL: USES (Uses)

(inhibitors, (di)deoxyglucose derivs.)

IT 138198-50-2P 138198-62-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for platelet activating factor inhibitor)

IT 138198-27-3P 138198-28-4P 138198-29-5P 138198-30-8P 138198-31-9P 138198-32-0P 138198-33-1P 138198-34-2P 138198-37-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as platelet activating factor inhibitor)

L28 ANSWER 31 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1992:6190 HCAPLUS

DOCUMENT NUMBER: 116:6190

TITLE: Preparation of cyclohexanediol derivatives as

platelet-activating factor (PAF) antagonists

INVENTOR(S): Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei;

Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,

Kokichi; Et, Al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

III

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 03200757 A2 19910902 JP 1989-344682 19891227

JP 2857191 B2 19990210

II

PRIORITY APPLN. INFO.: JP 1989-344682 19891227

OTHER SOURCE(S): MARPAT 116:6190

GI

MeO

$$\begin{array}{c} \text{MeO} \\ \text{PrO} \\ \hline \\ \text{MeO} \end{array} \\ \begin{array}{c} \text{CH}_2\text{O} \\ \hline \\ \text{O}_2\text{CNR}^1\text{CH}_2 \\ \hline \\ \text{N} \\ \end{array} \\ \begin{array}{c} \text{IV} \\ \end{array}$$

MeO

The title compds. [I; A = Q (wherein R2, R3, R4 = alkoxy, cycloalkylalkoxy, arylalkoxy), arylmethyl, alkylcarbamoyl, etc.; R1 = acyl; G = 2-pyridyl, dialkylamino; n = 0-3] are prepd. NaH (60%) was added to a soln. of 1,4-cyclohexanediol in DMF with stirring at 80.degree., followed by a soln. of II in DMF with stirring at 50.degree. and 70.degree. to give 37% monoether III, which was dissolved in pyridine and CH2Cl2 and treated with ClCO2Ph under cooling, the ext. was distd. and the residue heated with 2-(aminomethyl)pyridine at 60.degree. to give 94% carbamate IV (R1 = H) (V). KH (35%) was added to a soln. of V in THF with stirring at room temp., followed by 2-MeOC6H4COCl under cooling to give 30% IV (R1 = 2-MeOC6H4CO). Also prepd. were 34 addnl. I which showed IC50 of 0.07-0.155 .mu.M against PAF-induced human blood platelet aggregation.

IT 65154-06-5, Platelet-activating factor

RL: RCT (Reactant); RACT (Reactant or reagent)
 (antagonists, cyclohexanediol derivs.)

IT 137780-07-5P 137780-08-6P 137780-11-1P 137780-14-4P 137780-15-5P 137780-16-6P

L28 ANSWER 32 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:680530 HCAPLUS

DOCUMENT NUMBER: 115:280530

TITLE: Unexpected internal peptide

Spear 10 0051511

butoxycarbonylation of a linear N-Me amide peptide derived from virginiamycin S and

resulting failure for a carboxy-terminal sequencing.

Preparation of the tetrapeptide synthon

Thr-D-Abu-Pro-MePhe-OBzl

AUTHOR(S):

Moerman, Marc C.; Anteunis, Marc J. O.

CORPORATE SOURCE:

Lab. Org. Chem., State Univ. Gent, Ghent, B-9000,

Belg.

SOURCE:

Bulletin des Societes Chimiques Belges (1991), 100(9),

653-63

CODEN: BSCBAG; ISSN: 0037-9646

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 115:280530

GI

Treatment of linear chain-shortened virginiamycin S1 deriv. I (Abu = AB 2-aminobutanoic acid, R = H) with di-tert-Bu dicarbonate [(Boc)20] did not give the expected I (R = Boc) but rather underwent selective tert-butoxycarbonylation at the threonine nitrogen. This reaction is exploited in the conversion of virginiamycin S1 to tetrapeptide ester H-Thr-D-Abu-Pro-MePhe-OCH2Ph in 5 steps and 75% overall yield.

Ι

137407-76-2P ΙT

RL: SPN (Synthetic preparation); PREP (Preparation) (attempted prepn. of, by dimethylation of linear chain-shortened deriv. via butoxycarbonylation)

IT 137407-79-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and base-induced fragmentation of)

137407-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

23152-29-6, Virginiamycin S1

RL: RCT (Reactant); RACT (Reactant or reagent) (ring cleavage of, with trifluoroacetic acid)

L28 ANSWER 33 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1991:492829 HCAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

115:92829

TITLE:

Preparation of glycerin derivatives as

platelet-activating factor (PAF) inhibitors

Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada,

Kokichi; Et, Al.

PATENT ASSIGNEE(S):

Eisai Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 32 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE _____ JP 03038561 Α2 19910219 JP 1989-171363 19890703

JP 2843059 B2 19990106

PRIORITY APPLN. INFO.: JP 1989-171363 19890703

OTHER SOURCE(S): MARPAT 115:92829

GI

Glycerins [I; A = (substituted) aryl, aralkyl, cyclohexyl, cyclohexylakyl, AΒ etc.; B = alkyl, aralkyl; D = Y(CH2)qG wherein Y = OCONR4 (R4 = acyl, carbamoyl), O(CH2)rNR7 (R7 = acyl, r = 1-3), G = 2-pyridyl, dialkylamino, q = 0-3] are prepd. Glycerin deriv. II (2.1 g) (prepn. given) was dissolved in pyridine and treated with 1.2 g ClCO2Ph and then 2.1 g $\,$ 2-(aminomethyl)pyridine to give 1.7 g carbamate deriv. III (R = H), which was treated with Ac2O in pyridine to give 1.1 g amide deriv. III (R = Ac) (IV). Quaternization of 1.1 g IV with EtI gave 1.0 g salt IV.EtI, which showed IC50 of 0.38 .mu.M against PAF-induced blood platelet aggregation and recovered the PAF-induced blood pressure lowering by 67.8% at 1.0 mg/kg i.v. in rats.

65154-06-5, Platelet activating factor ΙT

RL: USES (Uses)

(inhibitors, glycerin derivs.)

128400-79-3P 128420-66-6P 135423-96-0P IT

135471-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of platelet-activating factor inhibitor)

IT 128400-58-8P 128400-59-9P 128400-60-2P

128400-61-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as platelet-activating factor inhibitor)

ANSWER 34 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN L28

Spear 10_0051511

ACCESSION NUMBER:

1990:459773 HCAPLUS

DOCUMENT NUMBER:

113:59773

TITLE:

Preparation of glycerin derivatives as platelet

activating factor (PAF) antagonists

INVENTOR(S):

Okano, Kazuo; Asano, Osamu; Shimomura, Naoyuki; Kawahara, Tetsuya; Abe, Shinya; Miyazawa, Shuhei; Miyamoto, Mitsuaki; Yoshimura, Hiroyuki; Harada, Koukichi; et al.

PATENT ASSIGNEE(S):

Eisai Co., Ltd., Japan Eur. Pat. Appl., 150 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | | APPLICATION NO. | DATE |
|-----------------------|------|----------|-------|------------------|----------|
| | | 19900207 | | EP 1989-112204 | 19890704 |
| EP 353474 | | 19910327 | | | |
| EP 353474 | B1 | 19950405 | | | 0.0 |
| | | | B, GR | , IT, LI, LU, NL | , SE |
| FI 8903099 | A | 19900105 | | FI 1989-3099 | 19890626 |
| FI 97883 | В | 19961129 | | | |
| FI 97883 | C | 19970310 | | | 10000000 |
| AU 8937213 | A1 | 19900104 | | AU 1989-37213 | 19890629 |
| AU 621634 | B2 | 19920319 | | 4000 050050 | |
| US 5037827 | А | 19910806 | | US 1989-373350 | 19890629 |
| CA 1334753 | A1 | 19950314 | | CA 1989-604528 | 19890630 |
| DK 8903291 | A | 19900105 | | DK 1989-3291 | 19890703 |
| NO 8902743 | Α | 19900105 | | NO 1989-2743 | 19890703 |
| NO 177495 | В | 19950619 | | | |
| NO 177495 | С | 19950927 | | | |
| CN 1039414 | А | 19900207 | | CN 1989-106554 | 19890703 |
| CN 1041920 | В | 19990203 | | | |
| JP 02131467 | A2 | 19900521 | | JP 1989-171362 | 19890703 |
| JP 2766319 | B2 | 19980618 | | | |
| HU 52478 | A2 | 19900728 | | HU 1989-3355 | 19890703 |
| HU 208119 | В | 19930830 | | | |
| DD 297814 | A5 | 19920123 | | DD 1989-330340 | 19890703 |
| HU 62855 | A2 | 19930628 | | HU 1992-2211 | 19890703 |
| RU 2040521 | C1 | 19950725 | | RU 1989-4614654 | 19890703 |
| AT 120734 | E | 19950415 | | AT 1989-112204 | 19890704 |
| ES 2070148 | Т3 | 19950601 | | ES 1989-112204 | 19890704 |
| US 5273985 | Α | 19931228 | | US 1991-710089 | 19910604 |
| US 5476863 | . A | 19951219 | | US 1993-129301 | 19930930 |
| US 5476864 | Α | 19951219 | | US 1993-129302 | 19931110 |
| JP 08231508 | A2 | 19960910 | | JP 1996-30394 | 19960219 |
| JP 2758584 | B2 | 19980528 | | | |
| PRIORITY APPLN. INFO. | : | | | 1988-166386 | 19880704 |
| | | | | 1989-373350 | 19890629 |
| | | | US | 1991-710089 | 19910604 |

OTHER SOURCE(S):

MARPAT 113:59773

GI

The title compds. [I; A = NH(CH2)mPh, NH(CH2)pC6H4SO2NH2, NH(CH2)3NHCONH2, NH(CH2)4CONH2, etc. (un)substituted at Ph or NH2 group; m, p = 0-6; B = alkyl, aralkyl; R1 = acyl; n = 0-3; G = 2-pyridyl, 2-pyridiniumyl] and A1OCH2CH(OB1)CH2D [A1 = (CH2)nR2; R2 = cyclohexyl, 4-biphenyl, (un) substituted Ph; B = alkyl, arylalkyl; D = Y(CH2)qG; Y = (un) substituted O2CNH or O(CH2)rN, Q, Q1 Q2; q,r = 0-3; G = 2-pyridyl, trialkylammonio salt] useful for the treatment of diseases caused by PAF, e.g. disseminated intravascular coagulation, anaphylactic or hemoribatic shock, and allergic diseases, are prepd. Thus, acylation of 2-O-methyl-3-O-[[N-(2-pyridyl)methyl]carbamoyl]glycerol with 2-aminofluorene and ClCO2CCl3 on the presence of pyridine gave I (A = 2-fluoroenylamino, B = Me, R1 = H, n = 1, G = 2-pyridyl) which was acylated with 2-MeOC6H4COCl in pyridine to give I (R1 = 2-MeOC6H4CO, A, B, n, G = same as above). Quaternization of the latter with EtI gave, after treatment with Amerlite IRA-410 (Cl-), I (G = Q2, R1, A, B, n = same as above). A total of 49 I were prepd. and 17 I (G = 2-pyridiniumyl) in nitro inhibited 3H-PAF binding to the PAF receptor of human platelets with IC50 of 0.00019-3.5 .mu.M.

65154-06-5, Platelet activating factor ΙT

RL: RCT (Reactant); RACT (Reactant or reagent)

(antagonists, glycerin dicarbamates) .

IT 128400-91-9P 128401-18-3P 128420-66-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as intermediate for glycerin dicarbamate platelet

activating factor antagonist)

IT 128400-44-2P 128400-45-3P 128400-46-4P 128400-47-5P 128400-48-6P 128400-49-7P 128400-50-0P 128400-51-1P 128400-52-2P 128400-53-3P 128400-54-4P 128400-55-5P 128400-58-8P 128400-59-9P 128400-60-2P 128400-61-3P 128400-66-8P 128400-67-9P 128400-68-0P 128400-69-1P 128400-70-4P 128400-71-5P 128400-72-6P 128400-73-7P 128400-74-8P 128400-75-9P 128400-78-2P 128400-79-3P 128400-80-6P 128400-84-0P 128420-59-7P 128420-60-0P 128420-61-1P 128420-62-2P 128420-63-3P 128420-64-4P 128420-65-5P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as platelet activating factor antagonist)

L28 ANSWER 35 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

1989:595363 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 111:195363

Orally active aldose reductase inhibitors derived from TITLE:

bioisosteric substitutions on tolrestat

AUTHOR(S):

Wrobel, Jay; Millen, Jane; Sredy, Janet; Dietrich, Arlene; Kelly, Joseph M.; Gorham, Beverly J.; Sestanj,

Kazimir

CORPORATE SOURCE:

Wyeth-Ayerst Res. Inc., Princeton, NJ, 08543-8000, USA

Journal of Medicinal Chemistry (1989), 32(11), SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE:

Journal

Ι

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 111:195363

A series of aldose reductase inhibitors was prepd. in which structural AB. modifications were made to three positions of the potent, orally active inhibitor tolrestat (I, R = Me, R1 = OMe, X = S) (II), namely, the 6-methoxy substituent, thioamide S, and the N-Me moiety. These compds. were evaluated in two in vitro systems: an isolated enzyme prepn. from bovine lens to assess their intrinsic inhibitory activity and an isolated rat sciatic nerve assay to det. their ability to penetrate membranes of nerve tissue. These compds. were also evaluated in vivo as inhibitors of galactitol accumulation in the lens, sciatic nerve, and diaphragm of. galactose-fed rats. Bioisosteric replacement of the 6-methoxy group with a methylthio substituent gave I (R = Me, R1 = SMe, X = S) (III), and replacement of the thioamide substituent with a cyanoamidine gave I (R =Me, R1 = OMe, X = NCN) (IV). Both III and IV retained high in vitro potency but were less potent in vivo than II. Replacement of the N-Me group by a carbomethoxy moiety gave I (R = CO2Me, R1 = OMe, X = S) and led to a substantial redn. in activity in each of the three assays employed. However, this same structural modification of oxotolrestat led to I (R =CO2Me, R1 = OMe, X = O) and resulted in an enhancement of the intrinsic activity and a comparable in vivo potency. The isolated nerve data suggest that some compds. in these series do not readily penetrate into peripheral nerves, and this presumably is a factor in their lack of oral activity.

121731-42-8P 121731-43-9P 121731-44-0P IT 121731-45-1P 121731-46-2P 121731-47-3P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and acidic hydrolysis of, carboxylic acid from)

121731-13-3P 121731-14-4P 121731-15-5P IT

121731-16-6P 121731-17-7P 121731-18-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and aldose reductase inhibition by)

IT 121731-29-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and alkylation of, with tert-Bu bromoacetate)

121731-54-2P 121731-55-3P 121731-56-4P ΙT

121731-57-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(prepn. and N-alkylation of, with tert-Bu bromoacetate)

L28 ANSWER 36 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1987:534225 HCAPLUS

DOCUMENT NUMBER:

107:134225

TITLE:

4-Substituted-2-oxabicyclo[2.2.1]heptane ether

herbicides

INVENTOR(S):

Powell, James E. Shell Oil Co., USA

PATENT ASSIGNEE(S):

U.S., 15 pp.

SOURCE:

DOCUMENT TYPE:

CODEN: USXXAM

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------|------|----------|----------------------------------|----------------------|
| | | | | |
| US 4606753 PRIORITY APPLN. INFO | . : | 19860819 | US 1984-621011 US 1984-621011 | 19840615 19840615 |

OTHER SOURCE(S):

CASREACT 107:134225

GI

Oxabicycloalkane ethers, including the title compds. I (X = bond, CMe2; Y AB = bond, CH2, such that both X and Y are not a single bond; R = H, COR3, R3 = H, hydrocarbyl; R1 = hydrocarbyl, ester, H2NCO; R2 = cyano, aryl, heterocyclyl, cycloalkyl, etc.), useful as herbicides or plant growth regulators, were prepd. Thus, 1,3,3-trimethyl-6-endo-(phenylmethoxy)-2oxabicyclo[2.2.1]heptane-4-carbonyl isocyanate, prepd. in 11 steps from NCCH2CO2Me, in CH2Cl2 was treated with MeNH2 to give 1,3,3-trimethyl-N-(methylaminocarbonyl)-6-endo-(phenylmethoxy)-2-oxabicyclo[2.2.1]heptane-4carboxamide (II). In postemergence tests II gave 100% control of barnyard grass and downy brome.

IT 105919-10-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as herbicide and plant growth regulator)

L28 ANSWER 37 OF 37 HCAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1979:204491 HCAPLUS

DOCUMENT NUMBER:

90:204491

TITLE:

Taurine and glycine derivatives

INVENTOR(S):

Gallo-Torres, Hugo; Guthrie, Robert William; Hamilton, James Guthrie; Kierstead, Richard Wightman; Sullivan,

Ann Clare

PATENT ASSIGNEE(S):

Hoffmann-La Roche, Inc., USA

SOURCE:

U.S., 12 pp. CODEN: USXXAM DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. DATE KIND

APPLICATION NO. 19770422

DATE

US 4104285 PRIORITY APPLN. INFO.:

19780801 Α

US 1977-790164 US 1977-790164 19770422

GI

(CHMe) n (CH2) mCONHCH2R1 Me I Ме RO

Cholanoyl amino acids I (R = H, alkanoyloxy, BzO; R1 = CO2H, AB alkoxycarbonyl, CH2SO3H; m, n = 0, 1) were prepd. Thus, 9.87 g 3.alpha., 12.alpha.-dihydroxy-24-nor-5.beta.-cholanic acid was treated with C1CO2Et to give the carbonate, which was treated with 1.96 g glycine to give 7.8 g I (R = H, R1 = CO2H, m = n = 1). I inhibits pancreatic lipase in vitro and hypolipemic in rats.

Ι

TΤ 9001-62-1

RL: PROC (Process)

(inhibition of, by cholanoylqlycine and cholanoyltaurine derivs.)

IT 70118-04-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. and reaction of, with glycine)

=> select hit rn 128 1-37

E1 THROUGH E163 ASSIGNED

=> fil req FILE 'REGISTRY' ENTERED AT 09:44:32 ON 29 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6 DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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Experimental and calculated property data are now available. See HELP
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in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf
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(FILE 'HCAPLUS' ENTERED AT 09:40:26 ON 29 SEP 2003)
SELECT HIT RN L28 1-37

FILE 'REGISTRY' ENTERED AT 09:44:32 ON 29 SEP 2003 L29 163 S E1-E163 L30 135 S L29 AND L18

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L30 ANSWER 1 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN
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Absolute stereochemistry.

RN **512853-02-0** REGISTRY

CN Carbamic acid, [[(6S)-hexahydro-6-[(4-hydroxyphenyl)methyl]-2-methyl-8-(1-naphthalenylmethyl)-4;7-dioxo-2H-pyrazino[2,1-c][1,2,4]triazin-1(6H)-yl]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C34 H33 N5 O6

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:321579

L30 ANSWER 10 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 259825-11-1 REGISTRY

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-(4R)-4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-L-prolyl-O-[(1,1-dimethylethyl)dimethylsilyl]-4-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-L-threonyl-O-[(1,1-dimethylethyl)dimethylsilyl]-L-threonyl-N,N-bis[(1,1-dimethylethoxy)carbonyl]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4-methyl-, (3S,4S)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C78 H138 N6 O16 Si5

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

RELATED SEQUENCES AVAILABLE WITH SEQLINK

Absolute stereochemistry.

PAGE 2-A

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 132:194661

L30 ANSWER 20 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 174147-85-4 REGISTRY

CN Carbamic acid, (2,4-dimethoxybenzoyl)[2-hydroxy-1-(phenylmethyl)-2-(2-thiazolyl)ethyl]-, 1,1-dimethylethyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C26 H30 N2 O6 S

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 124:203052

L30 ANSWER 30 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 156719-77-6 REGISTRY

CN three-Pentitol, 2,3,4-trideoxy-2,4-epithio-, [(1-ethylpyridinium-2-

yl)methyl](2-methoxybenzoyl)carbamate octadecylcarbamate, chloride (9CI)

(CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Thietane, pyridinium deriv.

FS STEREOSEARCH

DR 186752-12-5

MF C41 H64 N3 O6 S . Cl

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Relative stereochemistry.

● C1-

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 126:157369

REFERENCE 2: 124:202845

REFERENCE 3: 123:314308

REFERENCE 4: 121:133939

Spear 10 0051511

L30 ANSWER 40 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 138198-34-2 REGISTRY

CN D-Glucitol, 1,5-anhydro-4-O-([1,1'-biphenyl]-4-ylmethyl)-2,3-di-O-methyl-, [(1-ethylpyridinium-2-yl)methyl](2-methoxybenzoyl)carbamate, iodide (9CI) (CA INDEX NAME)

MF C38 H43 N2 O8 . I

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

• I-

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:41979

L30 ANSWER 50 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 138105-88-1 REGISTRY

CN D-glycero-D-gulo-Heptitol, 2,6-anhydro-3,4,5-tri-O-methyl-, 7-(hexadecylcarbamate) 1-[(2-methoxybenzoyl)(2-pyridinylmethyl)carbamate] (9CI) (CA INDEX NAME)

MF C42 H65 N3 O10

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:174669

ANSWER 60 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN L30

138085-61-7 REGISTRY RN

 ${\tt D-glycero-D-gulo-Heptitol,\ 2,6-anhydro-3,4,5-tri-O-methyl-,}$ CN 1-[[(1-ethylpyridinium-2-yl)methyl](2-methoxybenzoyl)carbamate] 7-(undecylcarbamate), chloride (9CI) (CA INDEX NAME)

C39 H60 N3 O10 . Cl MF

SR CA

LC STN Files: CA, CAPLUS

C1-

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 116:174669 1:

ANSWER 70 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN L30

RN

137780-11-1 REGISTRY
Pyridinium, 1-ethyl-2-[[(2-methoxybenzoyl)][[[4-[(3,4,5-CN trimethoxyphenyl)methoxy]cyclohexyl]oxy]carbonyl]amino]methyl]-, iodide (9CI) (CA INDEX NAME)

C33 H41 N2 O8 . I MF

SR CA

LC STN Files: CA, CAPLUS

I-

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 116:6190

L30 ANSWER 80 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 128420-63-3 REGISTRY

CN Carbamic acid, (2-methoxybenzoyl)(2-pyridinylmethyl)-, 2-methoxy-3-[[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]oxy]propyl

ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C25 H31 N3 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PAGE 1-A

PAGE 2-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 90 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN .

RN 128400-78-2 REGISTRY

CN Carbamic acid, (2-methoxybenzoyl)(2-pyridinylmethyl)-,

3-[3,5-dimethoxy-4-(octadecyloxy)phenoxy]-2-methoxypropyl ester (9CI) (CF INDEX NAME)

FS 3D CONCORD

MF C45 H66 N2 O9

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 100 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 128400-66-8 REGISTRY

CN Carbamic acid, (2-methoxybenzoyl)(2-pyridinylmethyl)-,
2-methoxy-3-[[[[3-(octadecyloxy)propyl]amino]carbonyl]oxy]propyl ester
(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C41 H65 N3 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 110 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 128400-50-0 REGISTRY

CN Pyridinium, 1-ethyl-2-[6-methoxy-2-(2-methoxybenzoyl)-14-(4-morpholinyl)-3,9,14-trioxo-4,8-dioxa-2,10-diazatetradec-1-yl]-, chloride (9CI) (CA INDEX NAME)

MF C30 H41 N4 O9 . Cl

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

• cl-

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 113:59773

L30 ANSWER 120 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 121731-54-2 REGISTRY

CN Carbamic acid, [[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-,

propyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H16 F3 N O4

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT

(*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 111:195363

L30 ANSWER 130 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 121731-16-6 REGISTRY

CN Glycine, N-[[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]carbonyl]-N-[(1-methylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C19 H18 F3 N O6

SR CA

LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, USPATFULL (*File contains numerically searchable property data)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 112:56693

REFERENCE 2: 111:195363

L30 ANSWER 135 OF 135 REGISTRY COPYRIGHT 2003 ACS on STN

RN 70118-04-6 REGISTRY

CN Carbamic acid, [[(3.alpha.,5.beta.,12.alpha.,17.beta.)-3,12-

dihydroxyandrostan-17-yl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Androstane, carbamic acid deriv.

MF C23 H37 N O5

LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 90:204491